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FILE LAST UPDATED: 19 Feb 2003 (20030219/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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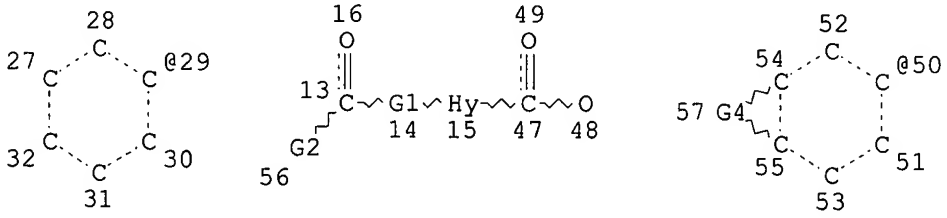
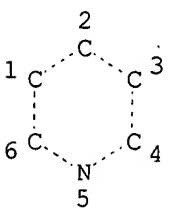
=> d stat que l31
L20 STR



VAR G1=O/S
VAR G2=29/50
REP G4=(3-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 15
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L22 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE
L24 141 SEA FILE=REGISTRY SSS FUL L20 AND L22
L31 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L24

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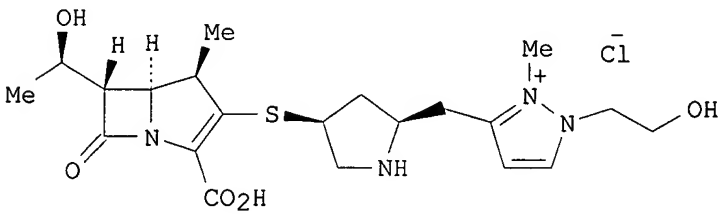
=> d ibib abs hitrn l31 1-38

L31 ANSWER 1 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:314441 HCAPLUS
DOCUMENT NUMBER: 135:137322
TITLE: Synthesis and antibacterial activity of novel 4-pyrrolidinylthio carbapenems. Part IV. 2-Alkyl substituents containing cationic heteroaromatics linked via a C-C bond
AUTHOR(S): Azami, H.; Barrett, D.; Tanaka, A.; Sasaki, H.; Matsuda, K.; Sakurai, M.; Terasawa, T.; Shirai, F.; Chiba, T.; Matsumoto, Y.; Tawara, S.
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Yodogawa-ku, Osaka, 532-0031, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(4), 961-982
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:137322
GI



I

AB The synthesis and biol. activity of a novel series of 2-alkyl-4-pyrrolidinylthio-.beta.-methylcarbapenems contg. a variety of cationic heteroarom. substituents linked via a C-C bond is described. As a result of these studies, FR21818 (I) was selected as a candidate compd. for development. FR21818 exhibited a well balanced spectrum of antibacterial activity, including Pseudomonas aeruginosa and methicillin-resistant Staphylococcus aureus (MRSA), excellent urinary recovery, good stability against renal dehydropeptidase-I (DHP-I), no antigenicity and mutagenicity, weak toxicities, and good efficacy and therapeutic effect on mice systemic infections. Affinities to PBP's, permeability of outer membrane, and plasma levels in mice, dog, and cynomolgous monkey of FR21818 are also reported.

IT **156441-58-6P 156441-62-2P 156441-67-7P**
164161-87-9P 164162-73-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of 4-pyrrolidinylthio carbapenems)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:73537 HCAPLUS
DOCUMENT NUMBER: 132:231507
TITLE: Synthesis and structure-activity relationship study of the new set of trypsin-like proteinase inhibitors
AUTHOR(S): Zlatoidsky, Pavol; Maliar, Tibor
CORPORATE SOURCE: Drug Research Institute, Modra, SK-90001, Slovakia
SOURCE: European Journal of Medicinal Chemistry (1999), 34(12), 1023-1034
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new set of 25 trypsin-like proteinase inhibitors was prepd. and the inhibiting activity on trypsin, thrombin, plasmin and urokinase was measured. The structure-activity relation is discussed. High inhibiting activities were obsd. in 4-guanidinobenzoic acid esters only. The replacement of this moiety for N-formamidinyl-isonipecotic acid or an arginine moiety caused almost total loss of the activity. In the series of 4-guanidinobenzoic acid esters, any important influence of the ester-groups reactivity was obsd. The trypsin-thrombin selectivity in the compds. with the guanidine-remote carboxylic function was also obsd.
IT **262298-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and structure-activity relationship study of new set of trypsin-like proteinase inhibitors)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

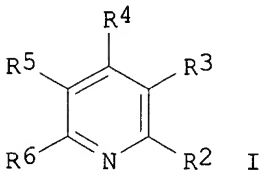
L31 ANSWER 3 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:529133 HCAPLUS
DOCUMENT NUMBER: 131:157711
TITLE: Preparation of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors
INVENTOR(S): Lee, Len F.; Glenn, Kevin C.; Connolly, Daniel T.; Corley, David G.; Flynn, Daniel L.; Hamme, Ashton; Hegde, Shridhar G.; Melton, Michele A.; Schilling, Roger J.; Sikorski, James A.; Wall, Nancy N.; Zablocki, Jeffrey A.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941237	A1	19990819	WO 1999-US1871	19990211

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,

KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9932854 A1 19990830 AU 1999-32854 19990211
PRIORITY APPLN. INFO.: US 1998-74586P P 19980213
WO 1999-US1871 W 19990211
OTHER SOURCE(S): MARPAT 131:157711
GI



I

AB Title compds. [I; R2,R6 = H, OH, (fluoro)alkyl, alkoxy, etc.; R3 = OH, CHO, alkoxycarbonyl, (hetero)arylcarbonyl, etc.; R5 = H, halo, alkyl, alkoxy, etc.; R5 = H, halo, alkyl, alkoxy(carbonyl), etc.] were prepd. Thus, CF3C(NH2):C(CO2Me)COMe was refluxed with Ac2O/HC(OMe)3 and the product converted in 2 steps to I (R2 = CF3, R3 = CO2Me, R4 = OCHMe2, R5 = R6 = H). Data for biol. activity of I were given.

IT **104232-46-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridinecarboxylates and analogs as cholesteryl ester transfer protein inhibitors)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 4 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:529132 HCAPLUS
DOCUMENT NUMBER: 131:170355
TITLE: Preparation of heterocycle-containing benzamide derivatives as farnesyl transferase inhibitors
INVENTOR(S): Drake, David John; Wardleworth, James Michael
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941235	A1	19990819	WO 1999-GB369	19990204

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9924351	A1	19990830	AU 1999-24351	19990204
EP 1054865	A1	20001129	EP 1999-903834	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002503650	T2	20020205	JP 2000-531430	19990204
ZA 9901032	A	19990810	ZA 1999-1032	19990209

PRIORITY APPLN. INFO.: EP 1998-400294 A 19980210
WO 1999-GB369 W 19990204
OTHER SOURCE(S): MARPAT 131:170355
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to compds. of formula (I; wherein A is of formula Q, Q1, or Ar1CH2E(Ar2); B is Ph, pyridyl, pyridazinyl, pyrimidyl, pyrazinyl, thienyl, thiazolyl, furyl or oxazolyl, the ring being substituted on ring carbon atoms by R1 and -(CH2)nR2; or B is pyrrolyl, pyrazolyl or imidazolyl, and when A is of formula Q or Q1, B can also be naphthyl substituted by R1 and (CH2)nR2; R1 is of the formula -CONHCH(R10)R11; ; R2 is Ph or heteroaryl; n is 0, 1 or 2; wherein R3 is hydrogen, C2-5 alkanoyl, C1-4 alkoxycarbonyl, C2-4 alkenyloxycarbonyl, phenyl-C1-3 alkyl, phenoxycarbonyl, etc.; R4 is hydrogen, C1-4 alkyl, C2-5 alkanoyl, C1-4 alkoxycarbonyl, phenyl-C1-3 alkyl, benzoyl, heteroaryl C1-3 alkyl or heteroaryl; D is a linking moiety selected from (un)substituted Q3 - Q5; Ar1 is (un)substituted imidazol-1-, -2-, or -3-yl; Ar2 is Ph or heteroaryl; E is C:CH, CHCH2, N-(un)substituted CHNH or CHNHCH2, CHO, CHOCH2; wherein R10 is hydrogen or (CH2)qR12 (q is 0-4) and R11 is of the formula CH2OR13, COR14, CH2COR14, is morpholino-C1-4 alkyl, pyrrolidin-1-yl-C1-4 alkyl, piperidin-1-yl-C1-4 alkyl, etc.; R12 is hydrogen, C1-4 alkylsulfanyl, C1-4 alkyl sulfonyl, hydroxy, C1-4 alkoxy, etc.; R13 is hydrogen, C1-4 alkyl, Ph, heteroaryl, C2-5 alkanoyl, etc.; R14 (un)substituted C1-4 alkyl, Ph, phenyl-C1-3 alkyl, cyano, C2-4 alkanoyloxy, HO, etc.) or pharmaceutically acceptable salts or prodrugs thereof. These compds. are useful for the treatment of a disease mediated through farnesylation of mutant ras products by inhibition of the enzyme farnesyl-protein transferase (FPTase), esp. cancer. Thus, 4-{[1-(4-Fluorophenyl)-2-(imidazol-1-yl)ethyl]amino}-2-(4-fluorophenyl)benzoic acid was condensed with L-methionine Me ester hydrochloride using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, HOBT, and 4-dimethylaminopyridine in CH2Cl2 at ambient temp. for 5 h to give 80% N-{4-{[1-(4-Fluorophenyl)-2-(imidazol-1-yl)ethyl]amino}-2-(4-fluorophenyl)benzoyl}-L-methionine Me ester which was reduced by LiBH4 in THF at 0.degree. at ambient temp. overnight to give N-benzoyl-L-methioninol deriv. (II).

IT **239065-58-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocycle-contg. benzamide derivs. as farnesyl transferase inhibitors for treatment of cancer)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:460391 HCAPLUS
DOCUMENT NUMBER: 131:88134
TITLE: Preparation of glyceroglycolipids as antiinflammatory agents
INVENTOR(S): Kojima, Masahiko; Ogawa, Hirotsugu; Harada, Yasunari
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933791	A1	19990708	WO 1998-JP5975	19981225
W: AT, AU, BR, CA, CN, DE, DK, ES, GB, HU, JP, KR, LU, MX, NO, NZ, PT, RU, SE, UA, US, VN				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9916915	A1	19990719	AU 1999-16915	19981225

PRIORITY APPLN. INFO.: JP 1997-360373 19971226
WO 1998-JP5975 19981225
OTHER SOURCE(S): MARPAT 131:88134
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The glycoglycerolipids represented by general formulas (I) and (II) and pharmaceutically acceptable salts thereof [wherein R1 and R2 are the same or different and each represents linear or branched C6-30 alkyl, alkenyl or acyl; B = (CH2)m, (CH2)nNR0CO2, (CH2)n CO2, CH2CH2(OCH2CH2)yOCH2CO2, CH2CH2(OCH2CH2)zNR0CO2, (CH2)nO; wherein R0 = H, lower alkyl; z = 1-4; n, m = 0-12; y = 0-3; R = moranoline Q, glucose Q1, HO3S(O)k(CH2)t-A; wherein R3 = H, OH; R4 = H, OH, SO3H, 3-O-sulfo-.beta.-D-galactopyranosyloxy; R5 = H, OH, SO3H, 3-O-sulfo-.beta.-D-galactopyranosyloxy; provided that when R4 =3-O-sulfo-.beta.-D-galactopyranosyloxy, R3 = R5 = H; when R6 = H, R7 =3-O-sulfo-.beta.-D-galactopyranosyloxy and R8 = H or 1-fucosyl; or when R6 = OH, R7 = H and R8 = SO3H; A = single bond, O, O(CH2)qNRa, (un)substituted CH2 or NH, etc.; Ra = H, lower alkyl; t = 0-6; k = 0,1; q = 1-6] are prepd. Also claimed are TNF-.alpha. (tumor necrosis factor-.alpha.) prodn. inhibitors or remedies or preventives contg. I or II (in particular R = Q2; W = CH2CO2H, SO3H, P(O)R10R9; wherein R10, R9 = OH, C1-4 lower alkyl or alkoxy) as the active ingredients for TNF-.alpha.-mediated diseases. Because of having effects of inhibiting cell adhesion and inhibiting TNF-.alpha. prodn., these compds. are useful as remedies for inflammatory diseases or remedies and preventives for TNF-.alpha.-mediated diseases. Thus, trisaccharide deriv. (III; R10 = H) and 1,3-O-dioleoyl-2-O-(1-imidazolylcarbonyl)glycerol were stirred in H2O/DMF/pyridine at 80.degree. for 5.5. h to give the title compd. (III; R10 = Q3) (IV). IV inhibited the binding of E-selectin, P-selectin, and L-selectin to immobilized sLex-bovine serum albumin with IC50 value of 2.48, 16.1, and 0.02, resp. A tablet formulation contg. galactoglycerolipid (III; R10 = Q4) was described.

IT **230286-69-8P 230286-74-5P 230286-78-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of glyceroglycolipids as cell adhesion inhibitors and TNF-.alpha. prodn. inhibitors for treatment of inflammatory disease and TNF-.alpha.-mediated diseases)

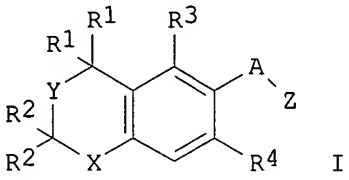
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:147324 HCAPLUS
DOCUMENT NUMBER: 128:204998
TITLE: synthesis, receptor specificity and TGase activity of

heteroarotinoids-anticancer agents
INVENTOR(S): Berlin, Kenneth Darrel; Subramanian, Shanker; Nelson, Eldon Carl; Madler, Matora May; Patterson, Manford Kenneth, Jr.; Birckbichler, Paul Joseph; Benbrook, Doris Mangiaracina
PATENT ASSIGNEE(S): Board of Regents for Oklahoma State University, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807716	A2	19980226	WO 1997-US14720	19970821
W: AU, CA, CN, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9740805	A1	19980306	AU 1997-40805	19970821

PRIORITY APPLN. INFO.: US 1996-24521P P 19960823
WO 1997-US14720 W 19970821
OTHER SOURCE(S): MARPAT 128:204998
GI



I

AB Synthesis of heteroarotinoid structures (I) [R1 = H, Me; R2 = H, Me; R3 = H, Me; R4 = H, Me, OMe; A = CO2, O2C, CONH, CONOH, CONOMe, NHCO, C(Me)=CH, COCH=CH; X = O, S, SO, SO2, NMe, NEt, NPr, NCHMe2, CMe2; Y = CH2, O, S; Z = C6H4-4-CO2R, C6H4-3-CO2R, C6H3-3-Me-4-CO2R, C6H3-2-Me-4-CO2R , CH=CHCH=CHCO2R, CH=CHC(Me)=CHCO2R; R = H, Me, Et, Pr, CHMe2] partially related to trans-retinoic acid through the basic, fused-ring framework and having receptor specificity as well as activity in stimulating formation of the enzyme transglutaminase as a marker for anticancer activity is reported. Thus, I (R1=R2 = Me, R3=R4 = H, Y = CH2, X = S, A = NHCO, Z = C6H3-2-Me-4-CO2R, R = H) (II) is prepd. in 68% yield by NaOH hydrolysis of the corresponding ester in ethanol formed by the condensation of 6-amino-2,3-dihydro-2,2,4,4-tetramethyl-2H-1-benzothiopyran with monomethyl terephthaloyl chloride. II shows an R value of 0.76 as compared to trans-retinoic acid in TGase assay.

IT **203856-37-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis, receptor specificity and TGase activity of heteroarotinoids-anticancer agents)

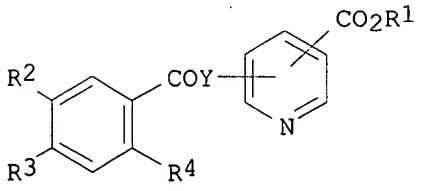
L31 ANSWER 7 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:436103 HCAPLUS
DOCUMENT NUMBER: 127:50545
TITLE: Aromatic carboxylic acid esters for use as selective retinoic acid .gamma. receptor ligands
INVENTOR(S): Klaus, Michael; Mohr, Peter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718192	A1	19970522	WO 1996-CH390	19961105
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
BR 9611525	A	19990713	BR 1996-11525	19951116
US 5726191	A	19980310	US 1996-735941	19961023
AU 9672759	A1	19970605	AU 1996-72759	19961105
AU 705849	B2	19990603		
EP 862554	A1	19980909	EP 1996-934302	19961105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1202154	A	19981216	CN 1996-198251	19961105
JP 3061865	B2	20000710	JP 1996-518467	19961105
JP 3061865	B2	20000710	JP 1997-518467	19961105
JP 11500452	T2	19990112		
US 5958956	A	19990928	US 1998-7613	19980115

PRIORITY APPLN. INFO.: CH 1995-3249 A 19951116
US 1996-735941 A3 19961023
WO 1996-CH390 W 19961105

OTHER SOURCE(S): MARPAT 127:50545
GI



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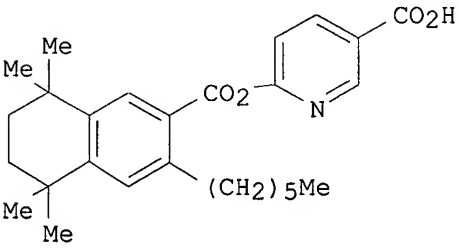


II

AB Title compds. I [R1 = H, alkyl; R2 = alkyl, adamantyl; R3 = alkyl, OH; R2R3 = alkylene; R4 = alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, H; Y = O, S; n = 3-5] were prepd. I can be used for treating epithelial lesions (no data). Thus, 6-hydroxynicotinic acid was converted to the benzyl ester, esterified with 3-hexyl-5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-2-naphthoic acid (II), followed by debenzylation, to give the ester III. II was prepd. by carboxylating 6-bromo-7-hexyl-1,1,4,4-tetramethyl-1,2,3,4-tetrahydro-2-naphthalene.

IT **191157-02-5P 191157-07-0P 191157-15-0P**
191157-21-8P 191157-27-4P 191157-28-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

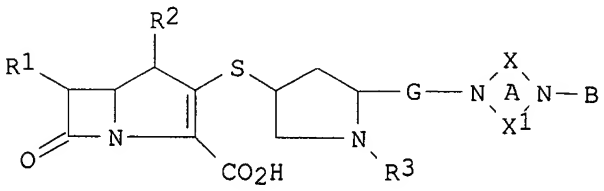
(prepn. of arylcarbonyloxynicotinates as selective retinoid .gamma. receptor ligands)

IT **191157-04-7P 191157-08-1P 191157-12-7P**
191157-16-1P 191157-22-9P 191157-25-2P
191157-29-6P 191157-30-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylcarbonyloxynicotinates as selective retinoid .gamma. receptor ligands)

L31 ANSWER 8 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:181061 HCAPLUS
DOCUMENT NUMBER: 126:171425
TITLE: Preparation of carbapenems as antibacterials
INVENTOR(S): Miwa, Tetsuo; Nagai, Katsunori; Okonogi, Kenji
PATENT ASSIGNEE(S): Takeda Chemical Industries Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012577	A2	19970114	JP 1996-104992	19960425

PRIORITY APPLN. INFO.: JP 1995-105197 19950428
OTHER SOURCE(S): MARPAT 126:171425
GI



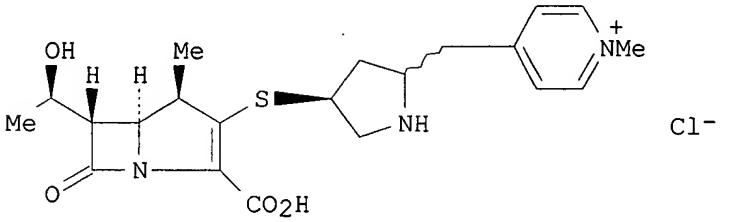
I

AB Title compds. I [R1 = (un)substituted alkyl; R2 = H, alkyl; R3 = H, (un)substituted alkyl, protecting group; G = CO, CHR; R = H, (un)substituted alkyl; B = (un)substituted heterocyclyl; A ring may possess substituents; X = (CH2)m; X1 = (CH2)n; m, n = 1, 2, 3 but m+n.gtoreq.3] and their salts are prepd. Thus, (2S,4S)-4-benzoylthio-1-(4-nitrobenzyloxycarbonyl)-2-[[4-(thiazol-2-yl)piperazin-1-yl]carbonyl]pyrrolidine (prepn. given) was reacted with p-nitrobenzyl (4R,5S,6S)-3-[(diphenylphosphono)oxy]-6-[(R)-1-hydroxyethyl]-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate in MeOH-MeCN contg. MeONa and diisopropylethylamine to give [4R,5S,6S(1R),3'S,5'S]-I [R1 = (R)-1-hydroxyethyl, R2 = Me, R3 = p-nitrobenzyloxycarbonyl, G = CO, m = n = 2, B = 2-thiazolyl] p-nitrobenzyl ester. The free acid of this had an MIC of 0.025 .mu.g/mL against Escherichia coli vs. 0.1 .mu.g/mL for imipenem.

IT **187265-37-8P 187265-46-9P 187265-49-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carbapenems as antibacterials)

L31 ANSWER 9 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:82072 HCAPLUS
DOCUMENT NUMBER: 126:144010

TITLE: Epimerization induced by a remote cationic center in potent new carbapenems
AUTHOR(S): Azami, Hidenori; Barrett, David; Chiba, Toshiyuki; Fujikawa, Akihiko; Sakane, Kazuo; Shirai, Fumiyuki
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(1), 209-213
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A new, potent 1.beta.-methylcarbapenem (FR21751, I) undergoes epimerization at the pyrrolidine C-2 position. To investigate this isomerization, the epimerization rate was evaluated by HPLC at various pH values in aq. soln. and the deuterium exchange rate by 1H-NMR spectroscopy in buffered D2O soln. The rate of this epimerization was greater at high pH (.gtoreq.6), and deuterium exchange occurred only at the benzylic position of the pyridine ring. The results can be interpreted in terms of a mechanism involving anionic and acyclic intermediates. The postulated acyclic intermediate of this epimerization was prepd. independently and cyclized to give a mixt. of four diastereomers in support of the proposed mechanism.

IT **156441-67-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(epimerization of FR21751)

L31 ANSWER 10 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:56235 HCAPLUS
DOCUMENT NUMBER: 126:74756
TITLE: Preparation of pyridine derivatives as agrochemical microbicides
INVENTOR(S): Maetzke, Thomas
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Maetzke, Thomas
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637472	A2	19961128	WO 1996-EP2060	19960514
WO 9637472	A3	19970109		

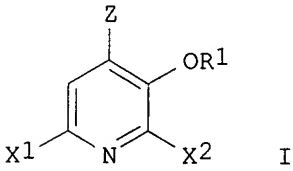
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9658963	A1	19961211	AU 1996-58963	19960514
EP 828713	A2	19980318	EP 1996-916067	19960514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 11510788	T2	19990921	JP 1996-535336	19960514
ZA 9604127	A	19961125	ZA 1996-4127	19960523

PRIORITY APPLN. INFO.: CH 1995-1546 19950524
WO 1996-EP2060 19960514

OTHER SOURCE(S): MARPAT 126:74756
GI



I

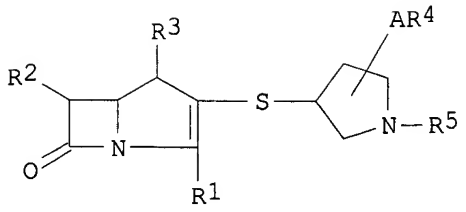
AB The title compds. [I; X1 = halo, H; X2 = halo; Z = C(O)A, C(S)A, CH(OR2)2 (whereas A = H, OH, alkoxy, etc.; R2 = C1-4 alkyl, C1-2 alkoxy, PhO, etc.); R1 = H, C1-4 alkyl, C(O)OCH2Ph, etc.], which possess plant-protecting properties and are particularly suitable for protecting plants preventatively against infestation with phytopathogenic microorganisms such as fungi, bacteria and viruses, were prepd. Thus, refluxing N,N-diethyl-2,6-dichloro-3-(N-diethylcarbamoyloxy)isonicotinamide in a mixt. of AcOH and conc. HCl afforded I [X1 = X2 = Cl; Z = COOH; R1 = H] which reduced fungal infestation down to 0-20% in test against Xanthomonas oryzae in rice.

IT **185423-11-4P 185423-12-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. as microbicides)

L31 ANSWER 11 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:8943 HCAPLUS
DOCUMENT NUMBER: 126:59809
TITLE: Preparation of 3-pyrrolidinyl-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid derivatives as antibacterials
INVENTOR(S): Chiba, Toshuki; Shirai, Fumyuki; Sasaki, Hiroshi; Azami, Hidenori; Tanaka, Akira
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08259566	A2	19961008	JP 1995-66394	19950324

PRIORITY APPLN. INFO.: JP 1995-66394 19950324
OTHER SOURCE(S): MARPAT 126:59809
GI



I

AB Title compds. I [R1 = (un)protected COOH; R2 = OH, (un)protected hydroxyalkyl; R3 = H, alkyl; R4 = (un)substituted heterocyclyl; R5 = H, protecting group; A = hydroxyalkylene] and their pharmaceutically acceptable salts are prepd. Thus, (4R,5S,6S)-I [R1 = COO-allyl, R2 = (R)-1-hydroxyethyl, R3 = Me, A = CHOH; R4 = (2S)-4-pyridyl; R5 = COO-allyl] was prepd. from allyl (4R)-2-diazo-4-[(2R,3S)-3-[(1R)-1-hydroxyethyl]-4-oxoazetidin-2-yl]-3-oxopentanoate and 4-[(S)-1-[(2S,4S)-1-allyloxycarbonyl-4-benzoylthiopyrrolidin-2-yl]-1-hydroxymethyl]pyridine (prepn. given). (4R,5S,6S)-3-[(2S,4S)-2-[(R)-1-(1-methyl-4-pyridinio)-1-hydroxymethyl]pyrrolidin-4-ylthio]-4-methyl-6-[(1R)-1-hydroxyethyl]-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid chloride (also prepd.) had an MIC of 0.1 .mu.g/mL against Escherichia coli.

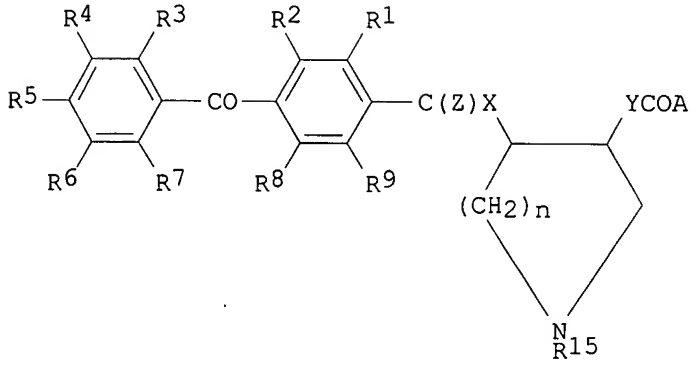
IT **184829-10-5P 184829-13-8P 184829-16-1P 184829-17-2P 184829-35-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-pyrrolidinylazabicyclo[3.2.0]heptenecarboxylic acid derivs. as antibacterials)

L31 ANSWER 12 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:954552 HCAPLUS
DOCUMENT NUMBER: 124:29620
TITLE: Preparation of 3-amino/hydroxy-4-[4-benzoylphenylcarboxylamino/oxy]azepine and homolog protein kinase inhibitors
INVENTOR(S): Barbier, Pierre; Huber, Isabelle; Schneider, Fernand; Stadlwieser, Josef; Taylor, Sven
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 663393	A1	19950719	EP 1994-120924	19941230
EP 663393	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9481670	A1	19950720	AU 1994-81670	19941222
AU 686691	B2	19980212		
CA 2139391	AA	19950713	CA 1994-2139391	19941230
AT 194326	E	20000715	AT 1994-120924	19941230
US 5583222	A	19961210	US 1995-368690	19950104
JP 07224030	A2	19950822	JP 1995-2587	19950111
JP 2922127	B2	19990719		
US 5750706	A	19980512	US 1996-706896	19960903
US 5914406	A	19990622	US 1998-19876	19980206

PRIORITY APPLN. INFO.: CH 1994-88 A 19940112
US 1995-368690 A3 19950104
US 1996-706896 A3 19960903

OTHER SOURCE(S): MARPAT 124:29620
GI



I

AB The title compds. [I; A = (un)substituted Ph, (un)substituted pyridyl, (un)substituted piperazinyl; R1, R9 = H, F; R2 = H, F, alkoxy; R3 = H, F, alkoxy, CF3, alkoxycarbonyl, (un)substituted tetrazolyl; R4 = H, OH, alkoxy, alkyl, Cl, F, acetyl, CF3, etc.; R5 = H, alkoxy, F, CF3; R6 = H, OH, alkoxy, F, 2,4-difluorophenyl, alkanoyl, Bz, NO2, etc.; R7 = H, OH, alkoxy, CO2H, NH2, F; R8 = H, alkoxy, alkyl, F; R15 = H, amidino; X, Y = O, NH; Z = O, H; n = 1-3; X and Y cannot simultaneously both be NH], useful as protein kinase inhibitors for the treatment of protein kinase-mediated diseases (e.g., alopecia, etc.), are prepd. and I-contg. formulations presented. Thus, (3R,4R)-3-(4-hydroxy-3,5-dimethylbenzoylamino)azepan-4-yl 4-(2-fluoro-6-hydroxy-3-methoxybenzoyl)benzoate hydrochloride, prepd. from tert-Bu (3R,4R)-4-[4-(2-fluoro-3-methoxy-6-methoxymethoxybenzoyl)benzoyloxy]-3-(4-methoxymethoxy-3,5-dimethylbenzoylamino)azepine-1-carboxylate, demonstrated a IC50 for protein kinase C of 0.011 .mu.M.

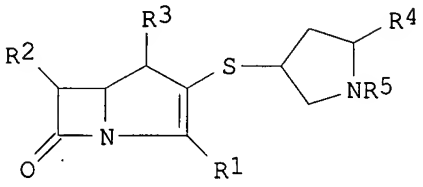
IT **170910-07-3 170910-16-4 170910-41-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-amino/hydroxy-4-[4-benzoylphenylcarboxylamino/oxy]azepine and homolog protein kinase inhibitors from)

L31 ANSWER 13 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:638526 HCAPLUS
DOCUMENT NUMBER: 123:55585
TITLE: 3-pyrrolidinylthio-carbapenem derivatives and their antimicrobial activity
INVENTOR(S): Murata, Masayoshi; Tsutsumi, Hideo; Matsuda, Keiji; Hattori, Kohji; Nakajima, Takashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510520	A1	19950420	WO 1994-JP1588	19940927
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9477068	A1	19950504	AU 1994-77068	19940927
EP 722447	A1	19960724	EP 1994-927783	19940927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				

JP 09503518	T2	19970408	JP 1994-511578	19940927
PRIORITY APPLN. INFO.:			GB 1993-20816	19931008
			WO 1994-JP1588	19940927

OTHER SOURCE(S): MARPAT 123:55585
GI



I

AB Carbapenem derivs. I, in which R1 is carboxy, etc., R2 is hydroxy(lower)alkyl, etc., R3 is hydrogen or lower alkyl, R4 is 2(or 3)-methylpyridin-4-ylmethyl, etc., and R5 is hydrogen or imino-protective group, or pharmaceutically acceptable salts thereof, which are useful as an antimicrobial agent.

IT **164162-73-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and antimicrobial activity of pyrrolidinylthio-carbapenems)

IT **164161-87-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antimicrobial activity of pyrrolidinylthio-carbapenems)

IT **164161-91-5P 164162-42-9P 164162-68-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antimicrobial activity of pyrrolidinylthio-carbapenems)

L31 ANSWER 14 OF 38 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1994:630576 HCAPLUS
DOCUMENT NUMBER:	121:230576
TITLE:	Preparation of substituted 3-(pyrrolidinylthio)carbapenems as antimicrobial agents
INVENTOR(S):	Murata, Masayoshi; Tsutsumi, Hideo; Matsuda, Keiji; Hattori, Kohji; Nakajima, Takashi
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 238 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321186	A1	19931028	WO 1993-JP469	19930409
W: AU, CA, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9339044	A1	19931118	AU 1993-39044	19930409
EP 636133	A1	19950201	EP 1993-908083	19930409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505650	T2	19950622	JP 1993-518180	19930409
CN 1082547	A	19940223	CN 1993-105695	19930412
ZA 9302599	A	19931026	ZA 1993-2599	19930413
US 5608056	A	19970304	US 1994-302780	19940921
PRIORITY APPLN. INFO.:			GB 1992-8133	19920413
			GB 1992-20893	19921005
			GB 1993-3720	19930224

WO 1993-JP469 19930409

OTHER SOURCE(S): MARPAT 121:230576

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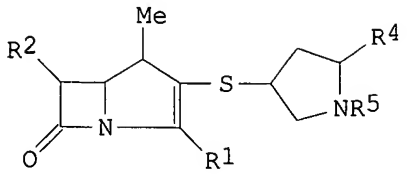


I

AB Title compds. I [R1 = (protected) carboxy; MeCH2OH, R4 = (substituted) pyridylalkyl, optionally N-substituted 2-oxopiperazin-1-ylalkyl, (substituted) imidazolalkyl, -pyrazolylalkyl, -triazolylalkyl, -pyrimidinylalkyl, -dihydropyrimidinylalkyl, -(2,3-dihydroimidazo[1,2-b]pyrazol-1-yl)ethyl; R5 = H, imino-protectant] or a salt thereof. To allyl (4R,5S,6S)-3-[(2R,4S)-1-allyloxycarbonyl-2-[2-(3-methyl-2-imidazolio)ethyl]pyrrolidin-4-yl]thio-6-[(1R)-1-hydroxyethyl]-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate iodide (prepn. given), Ph3P, AcOH, and Pd(Ph3P)4 in THF/EtOH was added Bu3SnH to give the title compd. (4R,5S,6S)-6-[(1R)-1-hydroxyethyl]-4-methyl-3-[(2R,4S)-2-[2-(3-methyl-1-imidazolio)ethyl]pyrrolidin-4-yl]thio-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid chloride (II). The min. inhibitory concn. of II in vitro against P. aeruginosa IAM1095 strain was 0.78 .mu.g/mL.

IT **156441-58-6P 156441-62-2P 156441-67-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of carbapenems)

L31 ANSWER 15 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:91041 HCAPLUS
DOCUMENT NUMBER: 120:91041
TITLE: Preparation of optically active fluorine-containing compounds, liquid-crystal compositions containing them, and liquid-crystal devices
INVENTOR(S): Namekawa, Masaaki; Nayuki, Shinichi; Ito, Keizo; Takeda, Mitsunori; Murayama, Yoshinobu
PATENT ASSIGNEE(S): Kashima Sekyu Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05213881	A2	19930824	JP 1992-19976	19920205
JP 2869236	B2	19990310		

PRIORITY APPLN. INFO.: JP 1992-19976 19920205

AB Optically active RXA1(YA2)mZCHR1R2 (I; R = C3-18 linear or branched alkyl; R1 = C1-2 fluoroalkyl; R2 = C4-12 cycloalkyl; A1-2 = Q, Q1, 2,5-pyridinediyl, 3,6-pyridazinediyl, QQ, QQ1, 2,6-naphthylene, Q2Q, Q3Q, Q1Q, QQ2, 1-4 H of these groups may be substituted with halo; Q = 1,4-C6H4; Q1 = 1,4-cyclohexylene; Q2 = 5,2-pyrimidinediyl, Q3 = 5,2-dioxanediyl; X = direct bond, O, CO2, OCO, OCO2; Y = direct bond, CO2, OCO, OCH2, CH2O; Z = O, CO2, CH2O) and liq.-crystal compns. contg. .gtoreq.1 I and liq.-crystal compds. except for I or liq.-crystal mixts. showing a chiral smectic C phase and/or those showing a smectic C phase

are claimed. Liq.-crystal devices having the liq.-crystal compns. between a pair of substrates with an electrode are also claimed. I show an antiferroelec. chiral smectic CA liq.-crystal phase and are useful for display devices.

IT **152461-04-6P**
RL: PREP (Preparation)
(prepn. of, as chiral smectic CA liq. crystal)

L31 ANSWER 16 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:125114 HCAPLUS
DOCUMENT NUMBER: 116:125114
TITLE: Specificity of pyridinemonocarboxylates and benzoic acid analogs as chemical hybridizing agents in wheat
AUTHOR(S): Ciha, Allan J.; Ruminski, Peter G.
CORPORATE SOURCE: Monsanto Agric. Co., St. Louis, MO, 63167, USA
SOURCE: Journal of Agricultural and Food Chemistry (1991), 39(11), 2072-6
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of substituted pyridinemonocarboxylates and benzoic acids were evaluated in growth chambers as potential chem. hybridizing agents for wheat (Triticum aestivum). Chem. hybridizing potential, measured as spike sterility, was obsd. with both areas of chem. The 3-pyridinecarboxylic acid, 4-hydroxy-2,6-bis(trifluoromethyl) Me ester, and 2,4-bis(trifluoromethyl)benzoic acid were the only mols. to exhibit complete spike sterility. Minor changes in both mols. resulted in total loss of activity. Substitutions at the 4-position on the pyridinemonocarboxylate which are subject to hydrolysis to the 4-hydroxyl or which contained an acidic proton functionality were the only substitutions exhibiting any level of spike sterility.

IT **104232-29-3**
RL: BIOL (Biological study)
(wheat spike stability induction by, hybridizing potentials in relation to)

L31 ANSWER 17 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:117925 HCAPLUS
DOCUMENT NUMBER: 116:117925
TITLE: Preparation of liquid crystalline fluoroalkyl esters containing pyridine ring
INVENTOR(S): Takeda, Mitsunori; Nayuki, Shinichi
PATENT ASSIGNEE(S): Kashima Oil Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03240774	A2	19911028	JP 1990-38107	19900219
JP 2704911	B2	19980126		

PRIORITY APPLN. INFO.: JP 1990-38107 19900219

AB Optically active R1OX1AX2CO2CHRR2 (I; R = C1-2 fluoroalkyl; R1 = C3-18 linear or branched alkyl; R2 = C5-15 linear or branched alkyl; A = CO2, OCO, CH2O, OCH2; X1, X2 = 1,4-phenylene, 4,4'-biphenyldiyl, 2,6-naphthalenediyl, 2,5-pyridinediyl; 1 of X1, X2 = 2,5-pyridinediyl) are prepd. and claimed as liq. crystals. I are useful for display devices, electrooptical devices, etc.

IT **139151-52-3P 139151-55-6P 139151-56-7P**
139151-57-8P 139151-58-9P 139151-59-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as liq. crystal)

L31 ANSWER 18 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:108852 HCAPLUS
DOCUMENT NUMBER: 116:108852
TITLE: Detergent builder-bleach precursors comprising chelidamic acid derivatives
INVENTOR(S): Humphreys, Robert W. R.; Harirchian, Bijan; Smeets, Frans L. M.
PATENT ASSIGNEE(S): Lever Brothers Co., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5069812	A	19911203	US 1990-624811	19901210
EP 490417	A1	19920617	EP 1991-202981	19911118
R: CH, DE, ES, FR, GB, IT, LI, NL, SE				
CA 2056938	AA	19920611	CA 1991-2056938	19911204

PRIORITY APPLN. INFO.: US 1990-624811 19901210
OTHER SOURCE(S): MARPAT 116:108852

AB Compds. ROCO(O)xBA (R = 2,6-dicarboxypyridin-4-yl optionally with carboxy groups in form of alkali metal salt; x = 0-1; B = C2-8 alkylene, arylene, etc.; A = C1-14 alkyl, aryl, substituted alkyl or aryl, R4Q+R1R2R3 Z-; Q = N, P; R1-3 = alkyl, alkenyl, etc.; R4 = alkylene, arylene, etc.; Z = anion) are prepd. and used as builders (i.e., sequestering agents for Ca2+) and bleach precursors in laundry detergents contg. a peroxygen bleach such as Na perborate. Chelidamic acid and ClCO2CH2CH2N+Me3 Cl- were used to prep. ROCO2CH2CH2N+Me3 Cl- (R = 2,6-dicarboxypyridin-4-yl in di-Na salt form) which was used in a peroxygen bleach-contg. detergent for washing tea-stained cotton fabrics.

IT **139217-39-3P 139217-40-6P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. and use as detergent builder-bleach precursor)

L31 ANSWER 19 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:524191 HCAPLUS
DOCUMENT NUMBER: 115:124191
TITLE: Synthesis of mesomorphic aryl esters bearing a pyridine ring
AUTHOR(S): Kamogawa, Hiroyoshi; Kawashima, Katsumasa; Shimizu, Manabu; Sakakibara, Yukihiro
CORPORATE SOURCE: Dep. Appl. Chem., Yamanashi Univ., Kofu, 400, Japan
SOURCE: Liquid Crystals (1991), 10(1), 101-10
CODEN: LICRE6; ISSN: 0267-8292
DOCUMENT TYPE: Journal
LANGUAGE: English

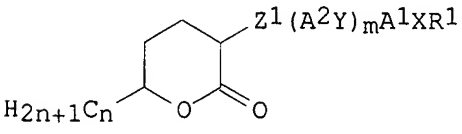
AB Aryl carboxylic esters bearing disubstituted pyridine rings were synthesized starting with various pyridine mono- or dicarboxylic acids by reactions, principally, with phenolic compds. Some of the pyridine monocarboxylates thus synthesized exhibited clear nematic phases at relatively low temps., whereas most of the 2,5-pyridinedicarboxylates bearing 2 benzene rings provided nematic phases, the ranges of which were some times wider and/or lower than those of the corresponding aryl esters bearing benzene rings alone.

IT **135431-22-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(liq. crystal, prepn. and transition temps. of)

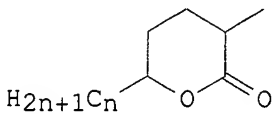
L31 ANSWER 20 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:438766 HCAPLUS
DOCUMENT NUMBER: 115:38766
TITLE: Optically active compound and liquid crystal composition
INVENTOR(S): Ikemoto, Tetsuya; Sakashita, Keiichi; Hayashi, Seiji
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 396410	A2	19901107	EP 1990-304804	19900502
EP 396410	A3	19910626		
R: DE, FR, GB				
US 5164113	A	19921117	US 1990-515754	19900430
JP 03072473	A2	19910327	JP 1990-115518	19900501
JP 03072479	A2	19910327	JP 1990-123556	19900514

PRIORITY APPLN. INFO.: JP 1989-112935 19890502
JP 1989-127482 19890519
OTHER SOURCE(S): MARPAT 115:38766
GI



I



II

AB An optically active compd. is described having a .delta.-valerolactone ring (I) [Z1 = CO2, CH2O, O; when A1, A2 = unsubstituted or F-, Cl-, or CN-substituted p-phenylene, R1 = Me(CH2)qCHMe(CH2)p (p = 0-11; q = 1-12; p + q .ltoreq.12), II, CnH2n+1X1-p-CHMe, X1 = direct bond or O; when A1, A2 = one of their same as above and other one unsubstituted a F-or Cl- or CN-substituted 2,5-pyridinediyl or 3,6-pyridazinediyl or 2,5-pyrazinediyl or 2,5-pyrimidinediyle; n = 1-14; X = O, O2C, OCH2; Y = direct bond, O2C, CO2, CH2O, OCH2; some other restrictions of combinations apply]. Ferroelec. liq. crystal compns. contg. the above compds. are chem. stable and not colored, and have good light stability and short response time.

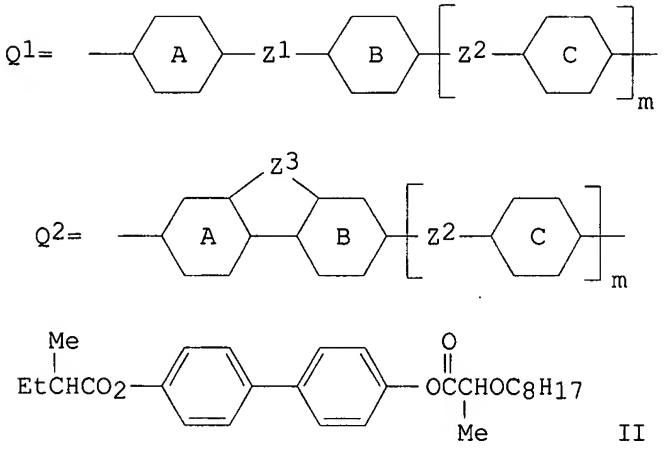
IT **134538-14-0P 134538-15-1P 134538-17-3P**
134573-05-0P
RL: PREP (Preparation)
(prepn. and phase transition temp. and use of, as optically active compd. in liq. crystal compn.)

L31 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:438757 HCAPLUS
DOCUMENT NUMBER: 115:38757
TITLE: Ferroelectric liquid crystal compositions
INVENTOR(S): Takehara, Sadao; Osawa, Masashi; Nakamura, Kayoko; Shoji, Tadao; Ogawa, Hiroshi; Fujisawa, Noburu; Kuriyama, Takeshi
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan; Kawamura Physical and Chemical Research Institute
SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02227490	A2	19900910	JP 1989-45477	19890228

PRIORITY APPLN. INFO.: JP 1989-45477 19890228
OTHER SOURCE(S): MARPAT 115:38757
GI



AB The title chiral smectic C compns. contain Ra*CHMe(CH2)lZaXOCO*CH(Me)ORb (I) [Ra = alkyl; Rb = alkyl; l = 0-10; Za = O, CO2, OCO, single bond; when Za = O, CO2, l = 1-10; C* = asym. carbon (R or S); X = Q1, Q2, etc.; ring A, B, C = satd., unsatd. 5- or 6-membered hydrocarbyl ring; Z1, Z2 = single bond, CO2, OCO, CH2O, etc.; Z3 = CH2, CH2CH2, CH:CH, COCH2, CO, etc.; m = 0 or 1] as chiral dopants. The title compns. have short response time. Biphenyl (S,S)-II is an example of I.

IT **134481-37-1**
RL: USES (Uses)
(liq. crystal compn. contg.)

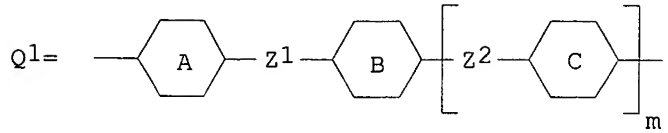
L31 ANSWER 22 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:418715 HCAPLUS
DOCUMENT NUMBER: 115:18715
TITLE: Ferroelectric liquid crystal compositions
INVENTOR(S): Takehara, Sadao; Osawa, Masashi; Nakamura, Kayoko; Shoji, Tadao; Ogawa, Hiroshi; Fujisawa, Noburu; Kuriyama, Takeshi
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan; Kawamura Physical and Chemical Research Institute
SOURCE: Jpn. Kokai Tokkyo Koho, 71 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

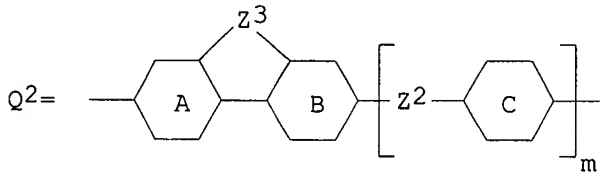
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

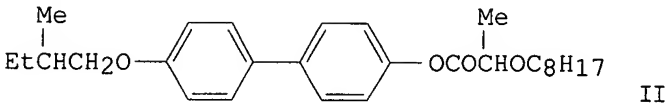
JP 02240189	A2	19900925	JP 1989-59526	19890314

PRIORITY APPLN. INFO.: JP 1989-59526 19890314

GI







II

AB The title chiral smectic C compns. contain biphenyl deriv. R1*CHMe(CH2)lZaXOCO*CHMeOR2 (I) [R1 = C2-10 alkyl; R2 = C1-10 alkyl; l = 0-10; Za = O; CO2, OCO, single bond; when Za is O, CO2, l = 1 - 10; the asterisk indicates asym. carbon (R or S); X = Q1, Q2, etc.; ring A, B, C = satd. or unsatd. 5- or 6-membered hydrocarbyl ring; Z1, Z2 = single bond, CO2, OCO, CH2O, OCH2, CH2CH2, C.tplbond.C, etc.; Z3 = CH2, CH2CH2, CH:CH, COCH2, CO, CH2CO, etc.; m = 0 or 1] as chiral dopants. Biphenyl deriv. (S, S)-II is an example of I. The title compns. have short response time.

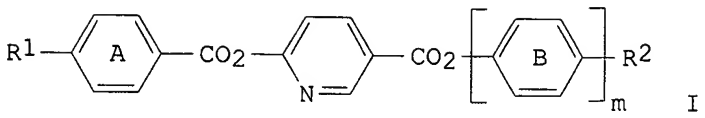
IT **134481-37-1**
RL: USES (Uses)
(liq. crystal compn. contg.)

L31 ANSWER 23 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:237775 HCAPLUS
DOCUMENT NUMBER: 114:237775
TITLE: Optically active 6-benzoyloxy-3-pyridinecarboxylic acid esters, liquid-crystal compositions, and optical switching devices
INVENTOR(S): Sugawara, Shungo
PATENT ASSIGNEE(S): Nippon Telegraph and Telephone Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02268160	A2	19901101	JP 1989-87043	19890407

PRIORITY APPLN. INFO.: JP 1989-87043 19890407

GI



I

AB The title esters I (R1 = C.gtoreq.4 alkyl, alkoxy; R2 = C.gtoreq.4 alkyl, alkoxy, alkoxycarbonyl, alkanoyloxy; m = 0, 1; R1 and/or R2 is optically active; ring A and/or B contain .gtoreq.1 F or Cl), liq.-crystal compns. contg. .gtoreq.1 I, and optical switching devices using I or liq.-crystal compns. contg. .gtoreq.1 I are claimed. I show a chiral smectic C phase and compns. contg. I give optical switching devices, e.g., displays, with high-speed response. 6-(4-Decyloxyphenyl)pyridine-3-carboxylic acid, prepd. from 4-Me(CH2)9C6H4CO2H and 6-hydroxynicotinic acid, was treated with 4-(1-methylheptyloxy)tetrafluorophenol to give I [R1 = decyl, R2 = OCHMe(CH2)5Me, m = 1, ring B has 4 F] (II), showing a chiral smectic C phase. A compn. contg. II and a nonchiral smectic liq.-crystal mixt. of 4-hexyloxyphenyl 4-(2-methylbutyl)-4'-biphenylcarboxylate and 4-pentyloxyphenyl 4-octyloxy-4'-biphenylcarboxylate showed a chiral smectic C phase with wider mesomorphic range than single compd., and the compn. gave a high-speed display cell.

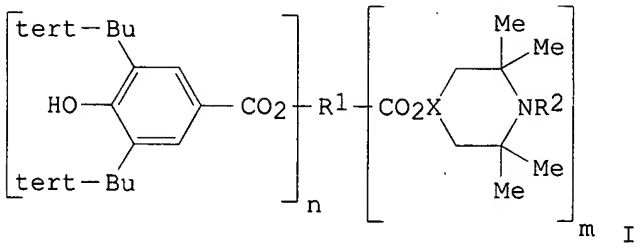
IT **133971-79-6P**, 6-(4-Octyloxybenzoyloxy)nicotinic acid
133971-80-9P, 6-(4-Decylbenzoyloxy)pyridine-3-carboxylic acid
133971-81-0P, 6-[3-Fluoro-4-(1-methylheptyloxy)benzoyloxy]pyridine-3-carboxylic acid **133971-82-1P**, 6-(4-Decyloxybenzoyloxy)pyridine-3-carboxylic acid **133971-83-2P**, 6-[4-(2-Methylbutyloxy)-3-chlorotrifluorobenzoyloxy]nicotinic acid **133971-84-3P**, 6-[3-Chloro-4-(1-methylheptyloxy)benzoyloxy]nicotinic acid
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of, with phenol derivs., chiral smectic C liq. crystals from)

IT **133971-88-7P 133971-89-8P 133971-90-1P**
133971-91-2P 133971-92-3P 133971-93-4P
133971-94-5P 133971-95-6P 133971-96-7P
133988-70-2P 133988-71-3P
RL: PREP (Preparation)
(prepn. of, as chiral smectic C liq. crystal)

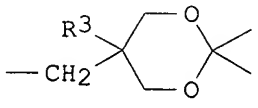
L31 ANSWER 24 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:120060 HCAPLUS
DOCUMENT NUMBER: 112:120060
TITLE: Synthetic resin compositions stabilized by hindered piperidyl esters
INVENTOR(S): Yoshikawa, Kazumi; Takahashi, Hiroshi
PATENT ASSIGNEE(S): Adeka Argus Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01193361	A2	19890803	JP 1988-18634	19880129
JP 2524378	B2	19960814		

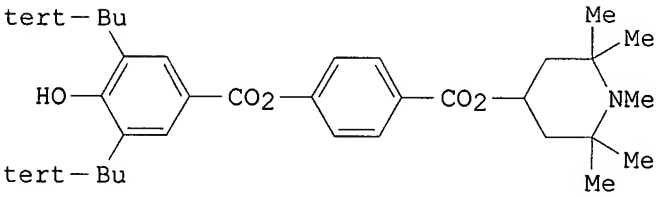
PRIORITY APPLN. INFO.: JP 1988-18634 19880129
GI



I



II



III

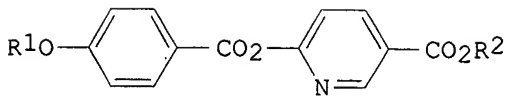
AB Resins with resistance to light and weathering contain 0.001-5 phr hindered piperidyl esters I [R1 = (n + m)-valent hydrocarbon or heterocycle group; R2 = H, alkyl, O-discharging group, acyl; X = CH, heterocyclic group II; R3 = alkyl; n, m = 1-2]. Profax 6501 contg. octadecyl 3-(4-hydroxy-3,5-di-tert-butylphenyl)propionate 0.1, Ca stearate 0.05, and 1,2,2,6,6-pentamethyl-4-piperidyl 4-(3,5-di-tert-butyl-4-hydroxybenzoyloxy)benzoate (III) 0.3% gave injection moldings having better light resistance than moldings contg. 2,2,6,6-tetramethyl-4-piperidyl benzoate instead of III.

IT **125205-37-0**
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(light stabilizers, for polymers)

L31 ANSWER 25 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:564361 HCAPLUS
DOCUMENT NUMBER: 111:164361
TITLE: Optically active 2-(4-alkoxybenzoyloxy)pyridine-5-carboxylate esters and chiral smectic C liquid-crystal compositions containing them
INVENTOR(S): Sakurai, Yuzo; Hasegawa, Sakie; Onishi, Koji
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63264573	A2	19881101	JP 1987-100417	19870423

PRIORITY APPLN. INFO.: JP 1986-95075 19860424
OTHER SOURCE(S): MARPAT 111:164361
GI



I

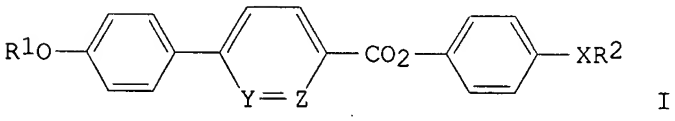
AB The title esters I (R1 = C6-18 alkyl; R2 = optically active alkyl) and liq.-crystal compns. contg. I are claimed. I have high weatherability and show chiral smectic C phase at room temp.,and provide liq.-crystal compns. with a wide mesomorphic range for display devices. 6-Hydroxynicotinic acid was treated with (S)-EtCHMeCH2OH and the resulting ester was treated with 4-Me(CH2)11OC6H4COCl to give (S)-I (R1 = dodecyl, R2 = CH2CHMeEt), which showed a chiral smectic C phase at room temp.

IT **114211-24-4P 114211-25-5P 114211-26-6P**
114211-27-7P 122906-86-9P 122906-87-0P
122906-88-1P
RL: PREP (Preparation)
(prepn. of, as chiral smectic C liq. crystal)

L31 ANSWER 26 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:544572 HCAPLUS
DOCUMENT NUMBER: 111:144572
TITLE: Optically active pyridinecarboxylate derivatives as liquid crystals
INVENTOR(S): Sakurai, Yuzo; Kitajima, Norio; Yabe, Masami; Miyata, Akira
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01019068	A2	19890123	JP 1987-176614	19870715

PRIORITY APPLN. INFO.: JP 1987-176614 19870715
OTHER SOURCE(S): MARPAT 111:144572
GI



I

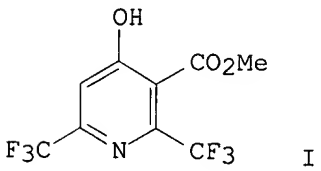
AB The compds. I [R1 = C4-18 alkyl; R2 = optically active alkyl, e.g. EtMeCHCH2 or MeCH(OH)CH2; X = CO2, O; Y = CH and Z = N, or Y = N and Z = CH], useful as chiral smectic C liq. crystals with quick response, are prepd. Conversion of 6-(4-octyloxyphenyl)pyridine-3-carboxylic acid to its acid chloride, followed by esterification with p-[(S)-EtCHMeCH2OCO]C6H4OH in the presence of Et3N gave I [R1 = octyl; R2X = (S)-EtCHMeCH2OCO; Y = N; Z = CH], which showed smectic A-to-smectic C and smectic C-to-cryst. transitions at 154.degree. and 61.degree., resp.

IT **114211-31-3**
RL: PRP (Properties)
(liq. crystal compn. contg.)

L31 ANSWER 27 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:57519 HCAPLUS
DOCUMENT NUMBER: 110:57519
TITLE: Preparation of 2,6-bis(trifluoromethyl)-3-methoxycarbonyl-4-hydroxypyridine and derivatives as gametocides
INVENTOR(S): Lee, Len Fang; Spear, Kerry Leigh; Ruminski, Peter Gerrard; Dhingra, Om Parkash
PATENT ASSIGNEE(S): Monsanto Co., USA
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276204	A2	19880727	EP 1988-870001	19880106
EP 276204	A3	19890607		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 46666	A2	19881128	HU 1988-27	19880106
DD 266955	A5	19890419	DD 1988-312070	19880106

PRIORITY APPLN. INFO.: US 1987-1111 19870107
OTHER SOURCE(S): MARPAT 110:57519
GI



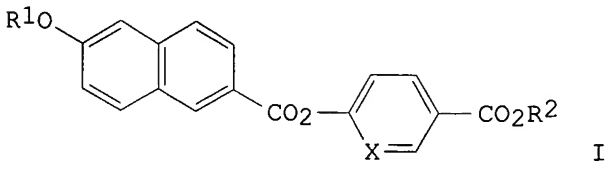
I

AB The title compd. (I) derivs., salts and esters, were prepd. To Me 2,6-bis(trifluoromethyl)-4-oxo-4H-pyran-3-carboxylate (prepn. given) was added MeOH contg. anhyd. NH3 to give I 83%. I at 5 lb/acre produced 100% sterility in red spring wheat in a growth chamber.
IT **104232-76-0P 118025-95-9P 118025-96-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as gameticide)

L31 ANSWER 28 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:483961 HCAPLUS
DOCUMENT NUMBER: 109:83961
TITLE: Optically-active 6-alkoxynaphthalene-2-carboxylic acid esters and chiral smectic C liquid crystals of same
INVENTOR(S): Hasegawa, Sakie; Ohishi, Koji; Sakurai, Yuzo
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 63017847 A2 19880125 JP 1986-162382 19860710
PRIORITY APPLN. INFO.: JP 1986-162382 19860710
OTHER SOURCE(S): MARPAT 109:83961
GI



I

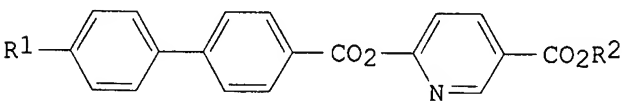
AB The title liq. cryst. esters I (R1 = C 6-18 alkyl; R2 = optically-active alkyl; X = C, N) are claimed. The esters show chiral smectic C phase and expand their mesomorphic range by their addn. to another liq.-crystal. Thus; (S)-2-methylbutyl 6-hydroxypyridine-3-carboxylate was prepd,. and treated with 6-tetradecyloxynaphthalene-2-carbonyl chloride to give I [R1 = tetradecyl, R2 = (S)-CH2CHMeEt, X = N] (II) which showed monotropic chiral smectic C phase at room temp. and the addn. of II to (S)-2-methylbutyl 6-(4'-decylbiphenyl-4-carbonyloxy)pyridine-3-carboxylate shifted the mesomorphic range to lower temps.

IT **115849-98-4P 115849-99-5P 115850-00-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as ferroelec. chiral smectic C liq. crystals)

L31 ANSWER 29 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:464523 HCAPLUS
DOCUMENT NUMBER: 109:64523
TITLE: Optically-active 6-biphenylcarbonyloxypyridine-3-carboxylate esters and their liquid crystals
INVENTOR(S): Hasegawa, Sakie; Yabe, Masami; Sakurai, Yuzo
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62258361	A2	19871110	JP 1987-6054	19870116

PRIORITY APPLN. INFO.: JP 1986-6327 19860117
OTHER SOURCE(S): CASREACT 109:64523
GI



I

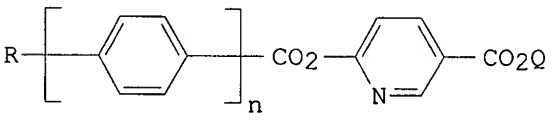
AB The title compds. I (R1 = C6-18 alkyl, alkoxy; R2 = optically-active alkyl) and their liq. crystals are claimed. The compds. show a ferroelec. chiral smectic C phase and are useful in display devices. Thus, (S)-methylbutyl 6-hydroxynicotinate was prepd. and refluxed with 4-Me(CH2)9OC6H4C6H4COCl-4 to give I [R1 = decyloxy, R2 = (S)-CH2CHMeEt] which showed a chiral smectic C phase at 85.9-137.9.degree..

IT **114211-29-9P 114211-30-2P 114211-31-3P**
114211-32-4P 114211-33-5P 115154-87-5P
115154-88-6P 115154-89-7P 115167-28-7P
115167-29-8P
RL: PREP (Preparation)
(prepn. of, as chiral smectic C liq. crystals, for display devices)

L31 ANSWER 30 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:196344 HCAPLUS
DOCUMENT NUMBER: 108:196344
TITLE: Benzyloxypyridinecarboxylate derivatives for liquid crystal compositions and optical switching devices
INVENTOR(S): Takehara, Sadao; Fujisawa, Noburu; Ogawa, Hiroshi; Shoji, Tadao; Osawa, Masashi; Arai, Tadashi; Kurokawa, Jitsuo
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan; Kawamura Physical and Chemical Research Institute
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62114967	A2	19870526	JP 1985-255115	19851115

PRIORITY APPLN. INFO.: JP 1985-255115 19851115
OTHER SOURCE(S): CASREACT 108:196344
GI



I

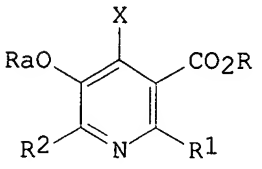
AB Compds. I (R = C1-20 alkyl, alkoxy; n = 1, 2; Q = optically active group), liq. crystal compns. contg. I, and optical switching devices contg. the compns. are claimed. The ferroelec. liq. crystal compns. show excellent response characteristics. Thus, esterification of 4-hexadecyloxybenzoyl chloride with (S)-2-methylbutyl 6-hydroypridine-3-carboxylate gave I [R = heaxadecyloxy; n = 1; Q = 2-methylbutyl) which showed chiral smectic C phase. The compd. II was prepd. by esterification of 6-hydroxynicotinc acid with (S)-2-methylbutanol.
IT **114211-24-4P 114211-25-5P 114211-26-6P**
114211-27-7P 114211-28-8P 114211-29-9P
114211-30-2P 114211-31-3P 114211-32-4P
114211-33-5P 114211-34-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as chiral smectic liq crystal compd. for display devices)

L31 ANSWER 31 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:131596 HCAPLUS
DOCUMENT NUMBER: 108:131596
TITLE: Preparation of substituted pyridine-3-monocarboxylates as herbicides
INVENTOR(S): Miller, Maria Ludovina; Dolson, Mark Glen; Lee, Len Fang

PATENT ASSIGNEE(S): Monsanto Co. , USA
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245230	A1	19871111	EP 1987-870063	19870507
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8772656	A1	19871112	AU 1987-72656	19870508
AU 589522	B2	19891012		
JP 62267266	A2	19871119	JP 1987-112244	19870508
ZA 8703315	A	19880127	ZA 1987-3315	19870508
US 4936905	A	19900626	US 1988-184855	19880422

PRIORITY APPLN. INFO.: US 1986-861379 19860509
GI



I

AB Title compds. I (R = H, alkyl, alkenyl, alkynyl, haloalkyl, -alkenyl; R1, R2 = fluorinated- and chlorofluorinated methyl; Ra = alkyl, H, aryl; X = H, HO, alkoxy, alkyl, arylsulfonyloxy, etc.; a salt of a HO) were prepd. as herbicides or intermediates which can be converted to herbicides. EtONa in DMSO was reacted with ClCH2COCH2CO2Et to give EtOCH2COCH2CO2Et which was treated with Me3COK and F3CCN to give the aminobutenoate ester, which was cyclized with F3CCO2Et to give the appropriate hydroxypyridinecarboxylate, which was treated with 2,4-Cl2C6H3OCH2COCl to give I (R = Et; R1, R2 = F3C; Ra = Et; X = 2,4-Cl2C6H3OCH2CO2) (II). In preemergent herbicidal activity against Canada thistle, cocklebur, velvetleaf, morning glory, and common lambsquarters, II at 11.2 kg/ha gave 75-100% inhibition.

IT **113438-18-9P 113438-20-3P 113438-49-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

L31 ANSWER 32 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:533760 HCAPLUS
DOCUMENT NUMBER: 105:133760
TITLE: Substituted 2,6-substituted pyridine compounds
INVENTOR(S): Lee, Len Fang; Miller, Maria Ludovina
PATENT ASSIGNEE(S): Monsanto Co. , USA
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 181852	A1	19860521	EP 1985-870152	19851105
EP 181852	B1	19900829		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4655816	A	19870407	US 1985-768660	19850827
AU 8549338	A1	19860515	AU 1985-49338	19851104
AU 576913	B2	19880908		
IL 76931	A1	19890928	IL 1985-76931	19851104
JP 61148163	A2	19860705	JP 1985-247869	19851105
JP 06067907	B4	19940831		
ZA 8508503	A	19860827	ZA 1985-8503	19851105
AT 55991	E	19900915	AT 1985-870152	19851105

PRIORITY APPLN. INFO.: US 1984-668928 19841106
US 1985-768660 19850827
EP 1985-870152 19851105

OTHER SOURCE(S): CASREACT 105:133760

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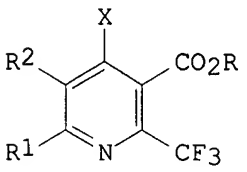


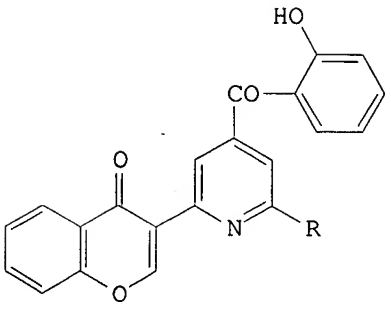
I

AB The title compds. I [R = H, (halo)alkyl, -alkenyl, alkynyl, cation; R1 = (chloro)fluorinated Me, Et; R2 = alkyl; X = Br, Cl, F, OR3; R3 = H, alkyl, alkenyl, C3-6 cycloalkyl, etc., NR4R5; R4 = H, (halo)alkyl, -alkenyl; R5 = H, (halo)alkyl, alkenyl, aryl, etc., heterocyclyl, N3] and their salts, useful as herbicides and intermediates for herbicides, were prepd. Thus, MeCOCH2CO2Et was condensed with F3CCN to give the enamine F3CC(NH2):C(COMe)CO2Et. The enamine was reacted with Li diisopropylamide to give in situ a dianion which was reacted with F3CCO2Et to give I (R = Et; R1 = CF3, X = OH) which was sapond. to give I (R = H; R1 = CF3; X = OH) (II). In preemergence tests, II at 11.2 kg/ha showed 100% herbicidal activity against morning-glory and common lambsquarters, and in postemergence tests against cocklebur.

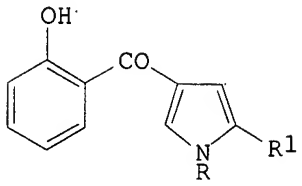
IT **104232-29-3P 104232-30-6P 104232-31-7P**
104232-32-8P 104232-34-0P 104232-35-1P
104232-36-2P 104232-38-4P 104232-43-1P
104232-44-2P 104232-45-3P 104232-46-4P
104232-52-2P 104232-61-3P 104232-76-0P
104250-32-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

L31 ANSWER 33 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:19474 HCAPLUS
DOCUMENT NUMBER: 104:19474
TITLE: Reactions of formylchromone derivatives. Part 5. Transformations of 3-formylchromones into pyrroles and pyridines
AUTHOR(S): Clarke, Paul D.; Fitton, Alan O.; Kosmirak, Mario; Suschitzky, Hans; Suschitzky, John L.
CORPORATE SOURCE: Ramage Lab., Univ. Salford, Salford, M5 4WT, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1985), (8), 1747-56
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:19474
GI



II



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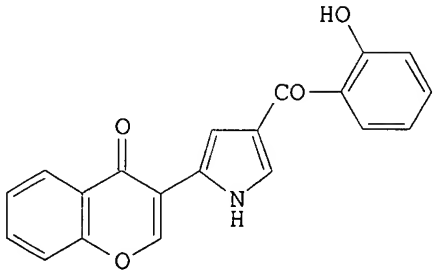

IV

AB Treatment of 3-formylchromone (I) with EtO2CCH2NH2 in refluxing PhMe contg. 4-MeC6H4SO3H for 2 h with H2O removal gave 23.5% pyridine II (R = CO2Et) and 22.4% pyrrole III (R = H, R1 = CO2Et). Similar treatment of I with H2NCH2CN for 24 h gave 20% II (R = CN), whereas EtO2CCHMeNH2 or EtO2CCHPhNH2 both gave the pyrrole IV. With MeNHCH2CO2H for 6 h, I gave 72% III (R = Me, R1 = H). Corresponding products were similarly obtained from substituted I derivs. The reaction mechanisms are discussed.

IT **84531-18-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermolysis of)

L31 ANSWER 34 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:71867 HCAPLUS
DOCUMENT NUMBER: 98:71867
TITLE: Transformation of 3-formylchromones into pyridines and pyrroles
AUTHOR(S): Fitton, Alan O.; Kosmirak, Mario; Suschitzky, Hans; Suschitzky, John L.
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
SOURCE: Tetrahedron Letters (1982), 23(38), 3953-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
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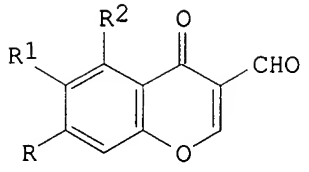
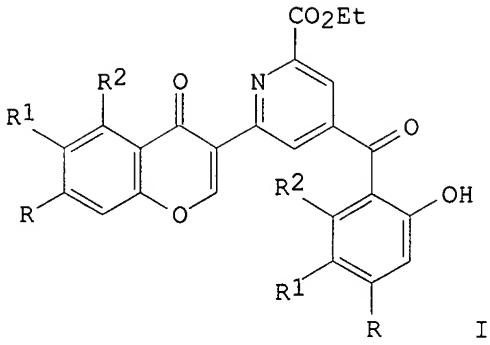
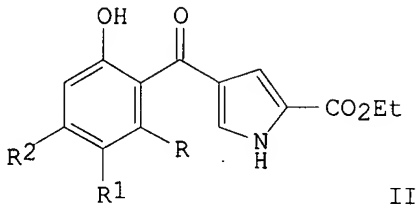


I



II

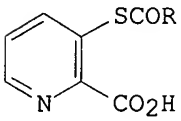


III

AB Treatment of the formylchromones I (R = R2 = H, R1 = H, Me, Cl, NO2; R = R2 = Me, R1 = H; R = OMe, R1 = R2 = H) with NH2CH2CO2Et in refluxing PhMe contg. 4-MeC6H4SO3H gave mixts. of pyridine derivs. II and pyrroles III (R-R2 as before) in 4.3-34 and 11-51.5% yields, resp. The mechanisms involve anil formation and cyclization to give the pyrroles and ring cleavage followed by cyclization to give the pyridines.

IT **84531-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L31 ANSWER 35 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:115097 HCAPLUS
DOCUMENT NUMBER: 86:115097
TITLE: Synthesis and hypoglycemic activity of S-acyl derivatives of 3-mercaptopicolinic acid
AUTHOR(S): Blank, Benjamin; DiTullio, Nicholas W.; Deviney, Linda; Roberts, John T.; Saunders, Harry L.
CORPORATE SOURCE: Div. Res. Dev., Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Journal of Medicinal Chemistry (1977), 20(4), 577-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, R=CMe3
II, R=p-ClC6H4
III, R=p-F3CC6H4

AB Eighteen S-benzoyl derivs. with various arom. substituents as well as the S-propionyl [62013-61-0], S-pivaloyl (I) [62013-62-1], and S-1-adamantanecarbonyl [62013-63-2] derivs. of 3-mercaptopicolinic acid (3-MPA) were prepd. under Schotten-Baumann conditions using acid chlorides or mixed anhydrides prepd. in situ, and studied for oral hypoglycemic activity in 48 h fasted rats. In general, compds. with substituents which increased lipid sol. had the greatest potency, with the most potent being I, the p-chlorobenzoyl deriv. (II) [**62013-59-6**], and the

p-(trifluoromethyl)benzoyl deriv. (III) [**62013-60-9**]. At oral dosages of 300 mg/kg, I, II, and III were more potent than 3-MPA, but comparative dose range studies showed 3-MPA to be more active. Hydrolysis rates for the derivs. indicated that in vivo breakdown to 3-MPA did not account for hypoglycemic activity.

IT **39760-18-4P 62013-46-1P 62013-47-2P**
62013-48-3P 62013-49-4P 62013-50-7P
62013-51-8P 62013-52-9P 62013-53-0P
62013-54-1P 62013-55-2P 62013-56-3P
62013-57-4P 62013-58-5P 62013-59-6P
62013-60-9P 62042-52-8P 62042-53-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and hypoglycemic activity of)

L31 ANSWER 36 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:138825 HCAPLUS
DOCUMENT NUMBER: 82:138825
TITLE: Reaction of a 1,3-oxazinium salt with active methylene compounds
AUTHOR(S): Shibuya, Isao; Kurabayashi, Masahiro
CORPORATE SOURCE: Natl. Chem. Lab. Ind., Tokyo, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1975), 48(1), 73-6
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2,4,6-Triphenyl-1,3-oxazinium perchlorate reacts with active methylene compounds to give benzamidobutadiene derivs. and pyridine derivs. The carbanion from an active methylene compound attacks the 6-position of the oxazinium ring, and opens the ring to form a benzamidobutadiene intermediate, which is then recyclized to a pyridine derivative in a characteristic mode. The mode of recyclization differs with the constituent of each active methylene. There are 5 modes characteristic of cyano-, ester-, amido-, and benzoyl-substituted active methylene compds. and MeNO2. A compd. containing 2 different constituents follows either of the 2 possible modes.
IT **55249-86-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L31 ANSWER 37 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:11036 HCAPLUS
DOCUMENT NUMBER: 82:11036
TITLE: Mercaptopyridinecarboxylic acids. Synthesis and hypoglycemic activity
AUTHOR(S): Blank, Benjamin; DiTullio, Nicholas W.; Miao, Clara K.; Owings, Franklin F.; Gleason, John G.; Ross, Stephen T.; Berkoff, Charles E.; Saunders, Harry L.; Delarge, J.; Lapiere, C. L.
CORPORATE SOURCE: SmithKline Corp. Div., Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(10), 1065-71
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB More than 50 title compds., isomers, analogs, and derivs. were prepd. and tested for hypoglycemic activity in 48 hr fasted rats. 3-Mercaptopicolinic acid (I) [14623-54-2], and its acetate (II) [39561-87-0] and methyl ester (III) [39561-86-9] gave significant hypoglycemia at a dose of 300 mg/kg, i.p., and were effective at lower

doses or administered orally. P-methoxybenzyl mercaptan is described as a novel sulfurating agent to introduce a protected mercapto group. Structure-activity relations and the role of gluconeogenesis in the obsd. hypoglycemia were discussed.

IT **39760-18-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, and hypoglycemic activity of)

L31 ANSWER 38 OF 38 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:16044 HCAPLUS
DOCUMENT NUMBER: 78:16044
TITLE: Hypoglycemic 3-mercaptopicolinic acid and derivatives
INVENTOR(S): Berkoff, Charles Edward; DiTullio, Nicholas William; Weisbach, Jerry Arnold
PATENT ASSIGNEE(S): Smith Kline and French Laboratories
SOURCE: Ger. Offen., 11 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2216576	A	19721019	DE 1972-2216576	19720406
US 3860716	A	19750114	US 1972-234379	19720313
ZA 7202084	A	19721227	ZA 1972-2084	19720327
IL 39088	A1	19750831	IL 1972-39088	19720327
BE 781416	A1	19720929	BE 1972-115719	19720329
NL 7204458	A	19721010	NL 1972-4458	19720404
FR 2132398	A5	19721117	FR 1972-11737	19720404
FR 2132398	B1	19751226		
GB 1316069	A	19730509	GB 1972-15691	19720405

PRIORITY APPLN. INFO.: US 1971-131834 19710406
GI For diagram(s), see printed CA Issue.
AB Five title compds. (I; R = H, Ac, Bz, or CH2Ph; R1 = H or Me) were prepd. by reaction of diazotized 3-aminopicolinic acid (II) with sodium polysulfide and subsequent esterification, acylation, or alkylation. I had hypoglycemic activity in rats. Thus, diazotized II was added to Na2S and S in H2O-NaOH at <0.degree., the mixt. stirred 4 hr, acidified with HCl, and refluxed in 50% N2H4.H2O for 2 hr to give I (R = R1 = H) (III). III was refluxed 16-18 hr in BF3-MeOH to give I (R = H, R1 = Me). Reaction of III with BzCl for 2.5 hr gave I (R = Bz, R1 = H).

IT **39760-18-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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L32 2 L24

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L32 ANSWER 1 OF 2 CAOLD COPYRIGHT 2003 ACS
AN CA60:7987g CAOLD
TI syntheses with pyridine- and quinolinecarboxaldehydes - (VI) isomeric 4-hydroxypiperidines
AU Merz, Kurt W.; Haller, R.
IT 20414-69-1 20414-70-4 88858-80-4 92432-42-3 93086-78-3 88858-80-4 91492-20-5 92432-42-3 93086-78-3 94312-41-1 94312-42-2 94312-43-3 96167-26-9 96215-53-1 96272-28-5 96676-27-6 **96711-86-3** 97297-41-1

L32 ANSWER 2 OF 2 CAOLD COPYRIGHT 2003 ACS
AN CA55:7416f CAOLD
TI synthesis of the yohimbine ring skeleton from 3-acetylindole
AU Liljegren, D. R.; Potts, K. T.
IT 703-80-0 102460-01-5 **103166-60-5 103166-73-0**

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DICTIONARY FILE UPDATES: 19 FEB 2003 HIGHEST RN 492421-57-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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11	RN	191157-27-4	REGISTRY
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16	RN	191157-15-0	REGISTRY
17	RN	191157-12-7	REGISTRY
18	RN	191157-08-1	REGISTRY
19	RN	191157-07-0	REGISTRY
20	RN	191157-04-7	REGISTRY
21	RN	191157-02-5	REGISTRY
22	RN	187265-49-2	REGISTRY
23	RN	187265-46-9	REGISTRY
24	RN	187265-37-8	REGISTRY
25	RN	185423-12-5	REGISTRY
26	RN	185423-11-4	REGISTRY
27	RN	184829-35-4	REGISTRY
28	RN	184829-17-2	REGISTRY
29	RN	184829-16-1	REGISTRY
30	RN	184829-13-8	REGISTRY
31	RN	184829-10-5	REGISTRY
32	RN	170910-41-5	REGISTRY
33	RN	170910-16-4	REGISTRY
34	RN	170910-07-3	REGISTRY
35	RN	164162-73-6	REGISTRY
36	RN	164162-68-9	REGISTRY
37	RN	164162-42-9	REGISTRY
38	RN	164161-91-5	REGISTRY
39	RN	164161-87-9	REGISTRY
40	RN	156441-67-7	REGISTRY
41	RN	156441-62-2	REGISTRY
42	RN	156441-58-6	REGISTRY
43	RN	152461-04-6	REGISTRY
44	RN	139217-40-6	REGISTRY
45	RN	139217-39-3	REGISTRY
46	RN	139151-59-0	REGISTRY
47	RN	139151-58-9	REGISTRY
48	RN	139151-57-8	REGISTRY
49	RN	139151-56-7	REGISTRY
50	RN	139151-55-6	REGISTRY
51	RN	139151-52-3	REGISTRY
52	RN	135431-22-0	REGISTRY
53	RN	134573-05-0	REGISTRY
54	RN	134538-17-3	REGISTRY
55	RN	134538-15-1	REGISTRY
56	RN	134538-14-0	REGISTRY
57	RN	134481-37-1	REGISTRY
58	RN	133988-71-3	REGISTRY

59	RN	133988-70-2	REGISTRY
60	RN	133971-96-7	REGISTRY
61	RN	133971-95-6	REGISTRY
62	RN	133971-94-5	REGISTRY
63	RN	133971-93-4	REGISTRY
64	RN	133971-92-3	REGISTRY
65	RN	133971-91-2	REGISTRY
66	RN	133971-90-1	REGISTRY
67	RN	133971-89-8	REGISTRY
68	RN	133971-88-7	REGISTRY
69	RN	133971-84-3	REGISTRY
70	RN	133971-83-2	REGISTRY
71	RN	133971-82-1	REGISTRY
72	RN	133971-81-0	REGISTRY
73	RN	133971-80-9	REGISTRY
74	RN	133971-79-6	REGISTRY
75	RN	125205-37-0	REGISTRY
76	RN	122906-88-1	REGISTRY
77	RN	122906-87-0	REGISTRY
78	RN	122906-86-9	REGISTRY
79	RN	118025-96-0	REGISTRY
80	RN	118025-95-9	REGISTRY
81	RN	115850-00-5	REGISTRY
82	RN	115849-99-5	REGISTRY
83	RN	115849-98-4	REGISTRY
84	RN	115167-29-8	REGISTRY
85	RN	115167-28-7	REGISTRY
86	RN	115154-89-7	REGISTRY
87	RN	115154-88-6	REGISTRY
88	RN	115154-87-5	REGISTRY
89	RN	114211-34-6	REGISTRY
90	RN	114211-33-5	REGISTRY
91	RN	114211-32-4	REGISTRY
92	RN	114211-31-3	REGISTRY
93	RN	114211-30-2	REGISTRY
94	RN	114211-29-9	REGISTRY
95	RN	114211-28-8	REGISTRY
96	RN	114211-27-7	REGISTRY
97	RN	114211-26-6	REGISTRY
98	RN	114211-25-5	REGISTRY
99	RN	114211-24-4	REGISTRY
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101	RN	113438-20-3	REGISTRY
102	RN	113438-18-9	REGISTRY
103	RN	104250-32-0	REGISTRY
104	RN	104232-76-0	REGISTRY
105	RN	104232-61-3	REGISTRY
106	RN	104232-52-2	REGISTRY
107	RN	104232-46-4	REGISTRY
108	RN	104232-45-3	REGISTRY
109	RN	104232-44-2	REGISTRY
110	RN	104232-43-1	REGISTRY
111	RN	104232-38-4	REGISTRY
112	RN	104232-36-2	REGISTRY
113	RN	104232-35-1	REGISTRY
114	RN	104232-34-0	REGISTRY
115	RN	104232-32-8	REGISTRY
116	RN	104232-31-7	REGISTRY
117	RN	104232-30-6	REGISTRY
118	RN	104232-29-3	REGISTRY
119	RN	103166-73-0	REGISTRY
120	RN	103166-60-5	REGISTRY
121	RN	96711-86-3	REGISTRY

122	RN	84531-18-0	REGISTRY
123	RN	62042-53-9	REGISTRY
124	RN	62042-52-8	REGISTRY
125	RN	62013-60-9	REGISTRY
126	RN	62013-59-6	REGISTRY
127	RN	62013-58-5	REGISTRY
128	RN	62013-57-4	REGISTRY
129	RN	62013-56-3	REGISTRY
130	RN	62013-55-2	REGISTRY
131	RN	62013-54-1	REGISTRY
132	RN	62013-53-0	REGISTRY
133	RN	62013-52-9	REGISTRY
134	RN	62013-51-8	REGISTRY
135	RN	62013-50-7	REGISTRY
136	RN	62013-49-4	REGISTRY
137	RN	62013-48-3	REGISTRY
138	RN	62013-47-2	REGISTRY
139	RN	62013-46-1	REGISTRY
140	RN	55249-86-0	REGISTRY
141	RN	39760-18-4	REGISTRY

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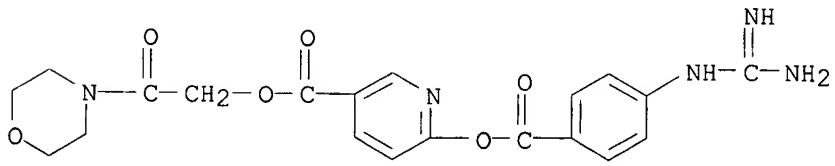
=>
=> d ide can 124 1 3 4 8 22 25 27 32 35 38 40 43 44 46 52 53 54 57 58 60 75 76 79 81 82 84 86 89 100 103 104 119 121 122 123 125 140 141

L24 ANSWER 1 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 262298-90-8 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]-, 2-(4-morpholinyl)-2-oxoethyl ester, monomethanesulfonate (9CI) (CA INDEX NAME)
MF C20 H21 N5 O6 . C H4 O3 S
SR CA
LC STN Files: CA, CAPLUS

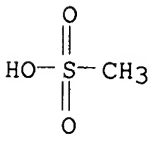
CM 1

CRN 262298-89-5
CMF C20 H21 N5 O6



CM 2

CRN 75-75-2
CMF C H4 O3 S

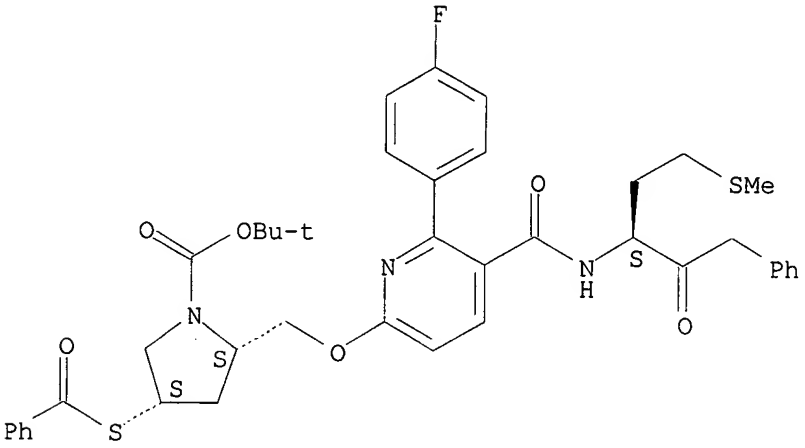


1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:231507

L24 ANSWER 3 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 239065-58-8 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-[[[6-(4-fluorophenyl)-5-[[[(1S)-1-[2-(methylthio)ethyl]-2-oxo-3-phenylpropyl]amino]carbonyl]-2-pyridinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (2S,4S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C41 H44 F N3 O6 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

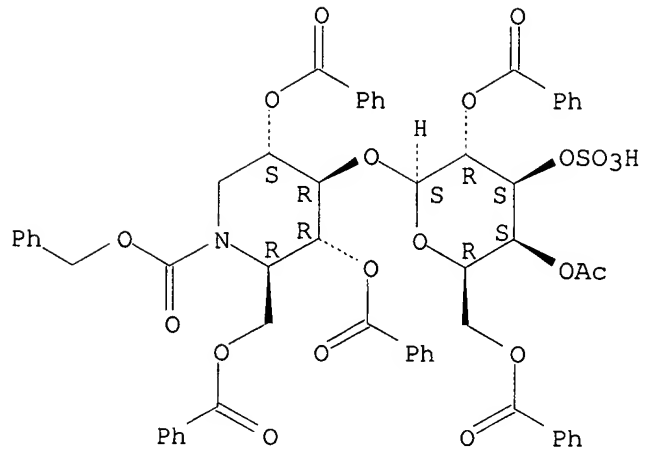
REFERENCE 1: 131:170355

L24 ANSWER 4 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 230286-78-9 REGISTRY
CN 1-Piperidinecarboxylic acid, 4-[(4-O-acetyl-2,6-di-O-benzoyl-3-O-sulfo-.beta.-D-galactopyranosyl)oxy]-3,5-bis(benzoyloxy)-2-[(benzoyloxy)methyl]-, 1-(phenylmethyl) ester, (2R,3R,4R,5S)-, compd. with pyridine (1:1) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C57 H51 N O20 S . C5 H5 N
SR CA
LC STN Files: CA, CAPLUS

CM 1

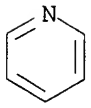
CRN 230286-77-8
CMF C57 H51 N O20 S

Absolute stereochemistry.



CM 2

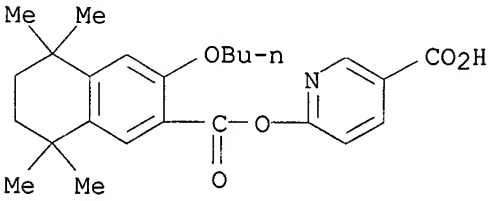
CRN 110-86-1
CMF C5 H5 N



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 131:88134

L24 ANSWER 8 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 191157-30-9 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[(3-butoxy-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]oxy]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H31 N O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



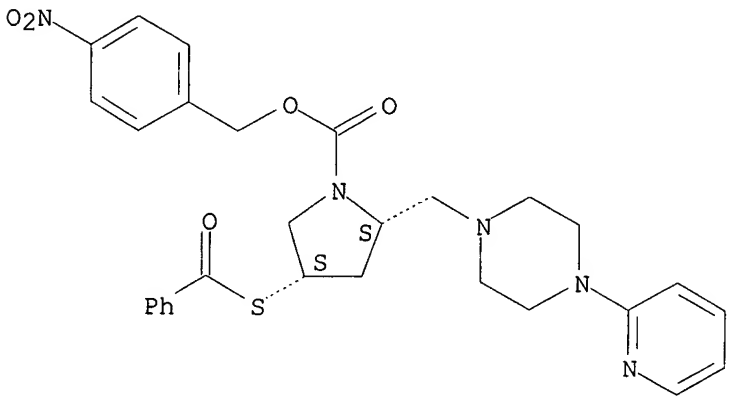
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 127:50545

L24 ANSWER 22 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 187265-49-2 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (4-nitrophenyl)methyl ester, (2S-cis)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H31 N5 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

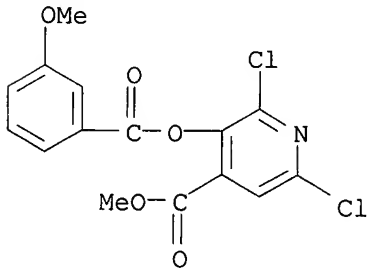


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:171425

L24 ANSWER 25 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 185423-12-5 REGISTRY
CN 4-Pyridinecarboxylic acid, 2,6-dichloro-3-[(3-methoxybenzoyl)oxy]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H11 Cl2 N O5
SR CA
LC STN Files: CA, CAPLUS



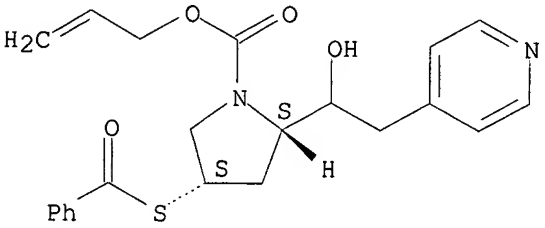
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:74756

L24 ANSWER 27 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 184829-35-4 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-[1-hydroxy-2-(4-pyridinyl)ethyl]-, 2-propenyl ester, [2S-(2.alpha.,4.alpha.)]-[partial]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



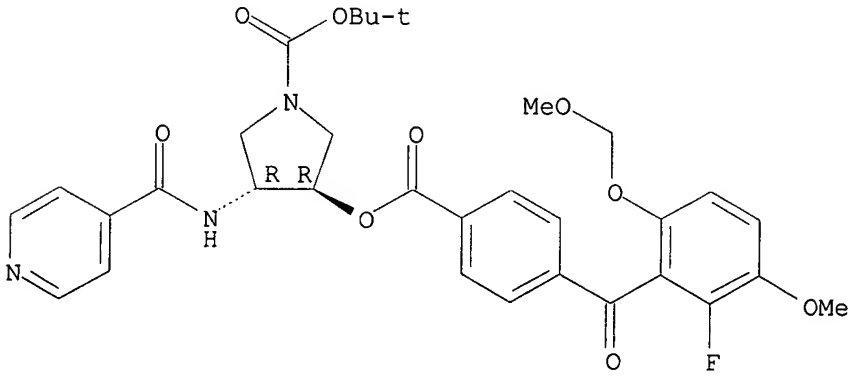
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:59809

L24 ANSWER 32 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 170910-41-5 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[2-fluoro-3-methoxy-6-(methoxymethoxy)benzoyl]benzoyl]oxy]-4-[(4-pyridinylcarbonyl)amino]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H34 F N3 O9
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.



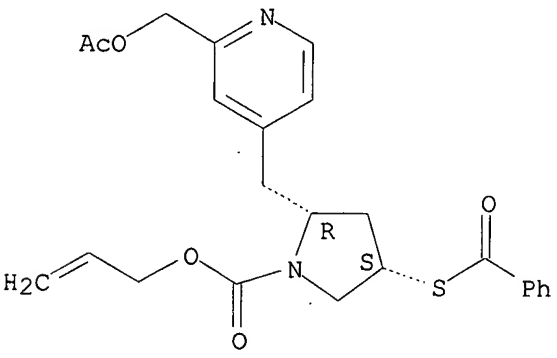
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 124:29620

L24 ANSWER 35 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 164162-73-6 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 2-[[2-[(acetyloxy)methyl]-4-pyridinyl]methyl]-4-(benzoylthio)-, 2-propenyl ester, (2R,4S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Pyrrolidinecarboxylic acid, 2-[[2-[(acetyloxy)methyl]-4-pyridinyl]methyl]-4-(benzoylthio)-, 2-propenyl ester, (2R-cis)-
FS STEREOSEARCH
MF C24 H26 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

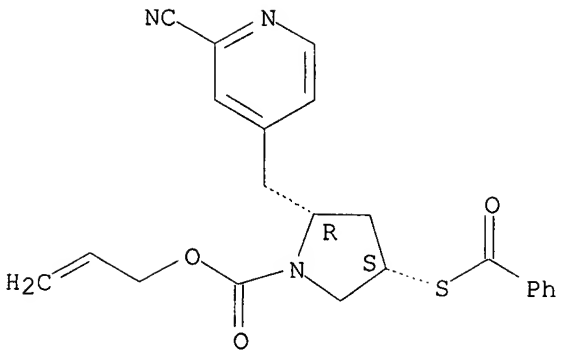
2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:137322

REFERENCE 2: 123:55585

L24 ANSWER 38 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 164161-91-5 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-[(2-cyano-4-pyridinyl)methyl]-, 2-propenyl ester, (2R-cis)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H21 N3 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



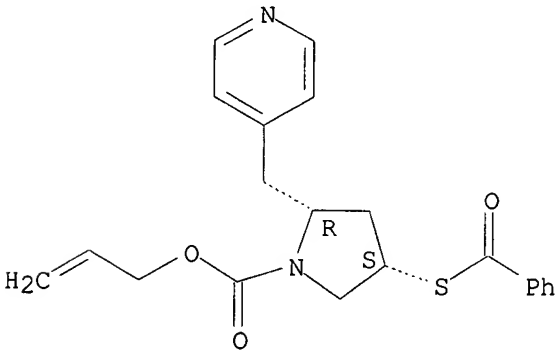
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:55585

L24 ANSWER 40 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 156441-67-7 REGISTRY
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-(4-pyridinylmethyl)-, 2-propenyl ester, (2R,4S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Pyrrolidinecarboxylic acid, 4-(benzoylthio)-2-(4-pyridinylmethyl)-, 2-propenyl ester, (2R-cis)-
FS STEREOSEARCH
MF C21 H22 N2 O3 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1962 TO DATE)
3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

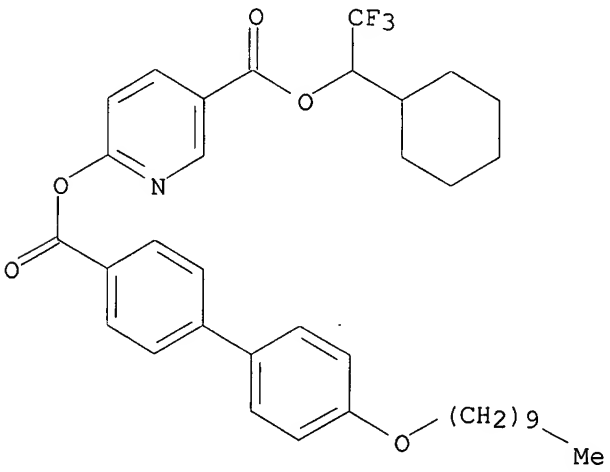
REFERENCE 1: 135:137322

REFERENCE 2: 126:144010

REFERENCE 3: 121:230576

L24 ANSWER 43 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 152461-04-6 REGISTRY
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-(decyloxy)-, 5-[(1-cyclohexyl-2,2,2-trifluoroethoxy)carbonyl]-2-pyridinyl ester, (+)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C37 H44 F3 N O5
SR CA
LC STN Files: CA, CAPLUS

Rotation (+).

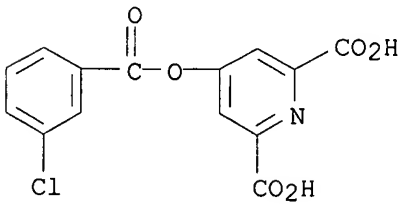


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 120:91041

L24 ANSWER 44 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 139217-40-6 REGISTRY
CN 2,6-Pyridinedicarboxylic acid, 4-[(3-chlorobenzoyl)oxy]-, disodium salt (9CI) (CA INDEX NAME)
MF C14 H8 Cl N O6 . 2 Na
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



2 Na

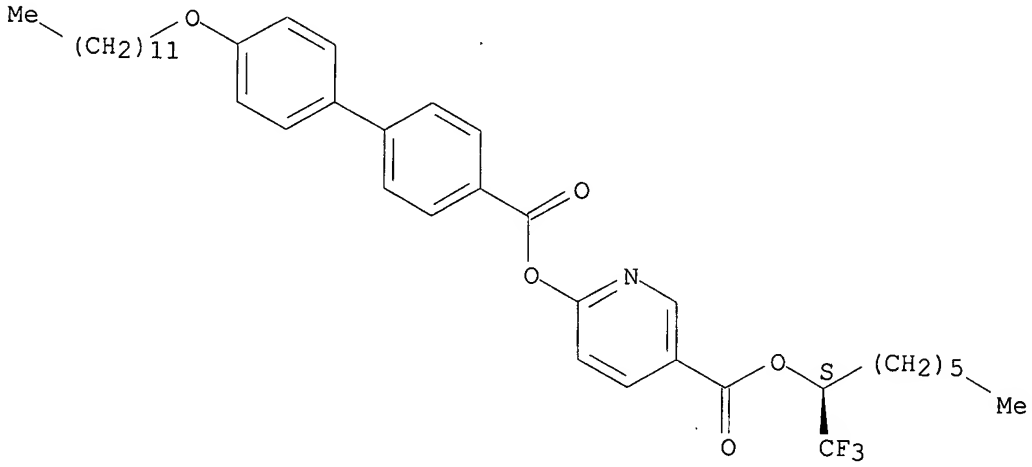
1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 116:108852

L24 ANSWER 46 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 139151-59-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[[4'-(dodecyloxy)[1,1'-biphenyl]-4-yl]carbonyl]oxy]-, 1-(trifluoromethyl)heptyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H50 F3 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

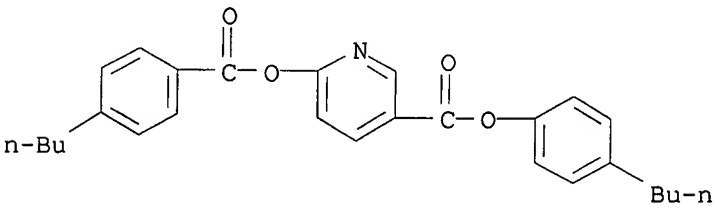


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 116:117925

L24 ANSWER 52 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 135431-22-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[(4-butylbenzoyl)oxy]-, 4-butylphenyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H29 N O4
SR CA
LC STN Files: CA, CAPLUS



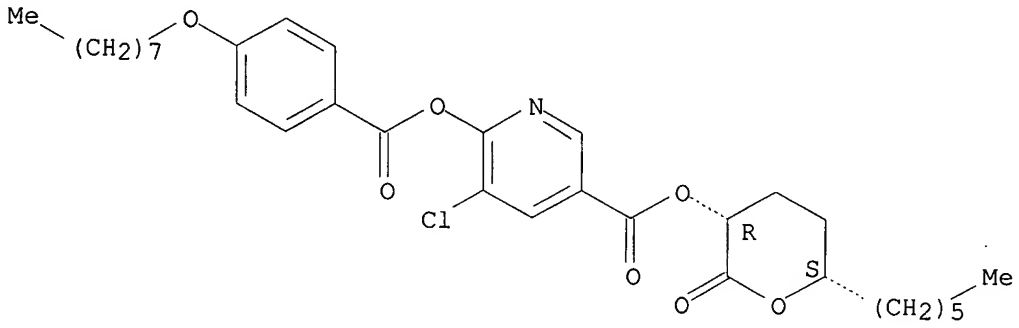
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 115:124191

L24 ANSWER 53 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 134573-05-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 5-chloro-6-[[4-(octyloxy)benzoyl]oxy]-, 6-hexyltetrahydro-2-oxo-2H-pyran-3-yl ester, (3R-cis)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H42 Cl N O7
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

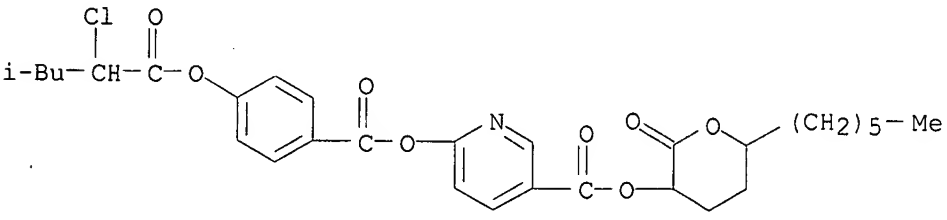


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 115:38766

L24 ANSWER 54 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 134538-17-3 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[4-[(2-chloro-4-methyl-1-oxopentyl)oxy]benzoyl]oxy]-, 6-hexyltetrahydro-2-oxo-2H-pyran-3-yl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H36 Cl N O8
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



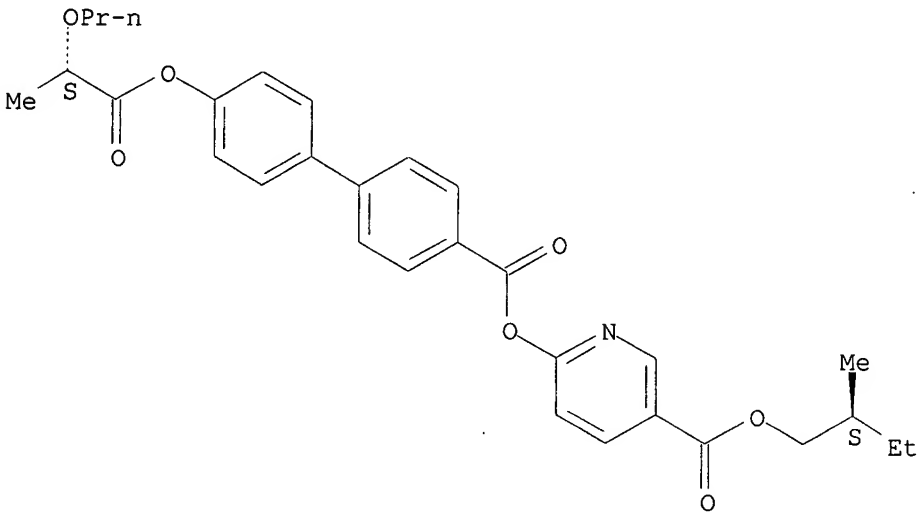
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 115:38766

L24 ANSWER 57 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 134481-37-1 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[[4'-(1-oxo-2-propoxypropoxy)[1,1'-biphenyl]-4-yl]carbonyl]oxy]-, 2-methylbutyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H33 N O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

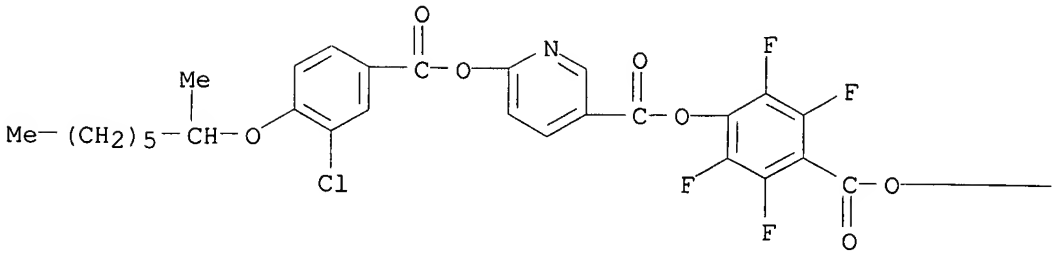
2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 115:38757

REFERENCE 2: 115:18715

L24 ANSWER 58 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 133988-71-3 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[3-chloro-4-[(1-methylheptyl)oxy]benzoyl]oxy]-, 2,3,5,6-tetrafluoro-4-[(octyloxy)carbonyl]phenyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C36 H40 Cl F4 N O7
SR CA
LC STN Files: CA, CAPLUS

PAGE 1-A



PAGE 1-B

— $(CH_2)_7$ — Me

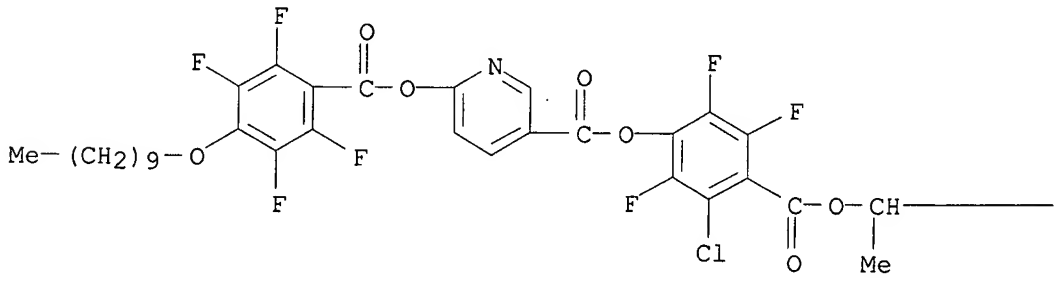
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 114:237775

L24 ANSWER 60 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 133971-96-7 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[4-(decyloxy)-2,3,5,6-tetrafluorobenzoyl]oxy]-, 3-chloro-2,5,6-trifluoro-4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C38 H41 Cl F7 N O7
SR CA
LC STN Files: CA, CAPLUS

PAGE 1-A



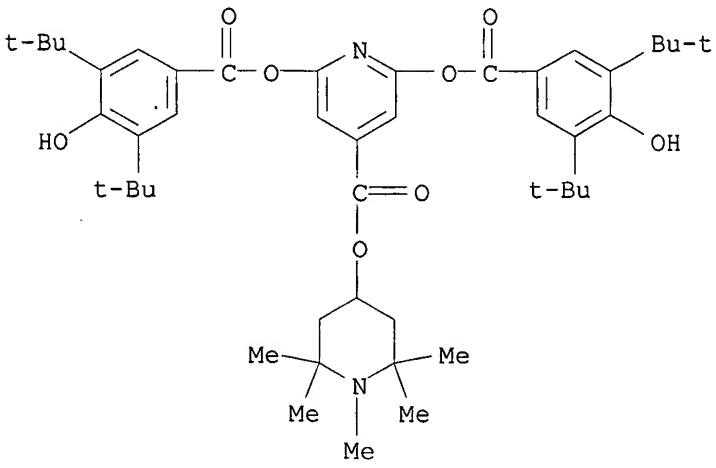
— $(CH_2)_5$ — Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 114:237775

L24 ANSWER 75 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 125205-37-0 REGISTRY
CN 4-Pyridinecarboxylic acid, 2,6-bis[[3,5-bis(1,1-dimethylethyl)-4-hydroxybenzoyl]oxy]-, 1,2,2,6,6-pentamethyl-4-piperidinyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C46 H64 N2 O8
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

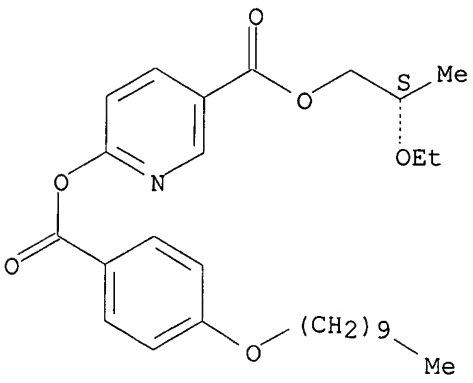
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 112:120060

L24 ANSWER 76 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 122906-88-1 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[4-(decyloxy)benzoyl]oxy]-, 2-ethoxypropyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H39 N O6

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

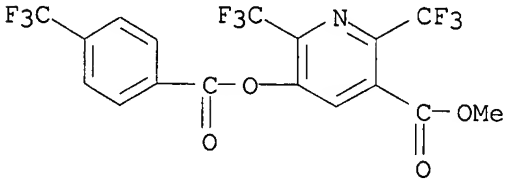


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 111:164361

L24 ANSWER 79 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 118025-96-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 2,6-bis(trifluoromethyl)-5-[[4-(trifluoromethyl)benzoyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H8 F9 N O4
SR CA
LC STN Files: CA, CAPLUS



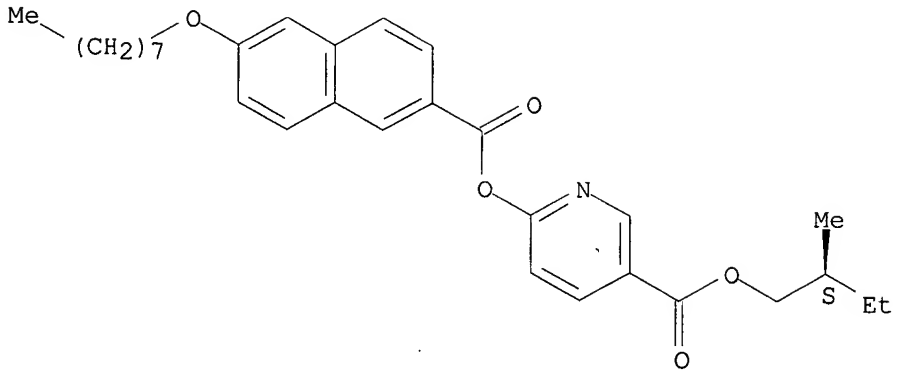
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 110:57519

L24 ANSWER 81 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 115850-00-5 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[[6-(octyloxy)-2-naphthalenyl]carbonyl]oxy]-, 2-methylbutyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H37 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



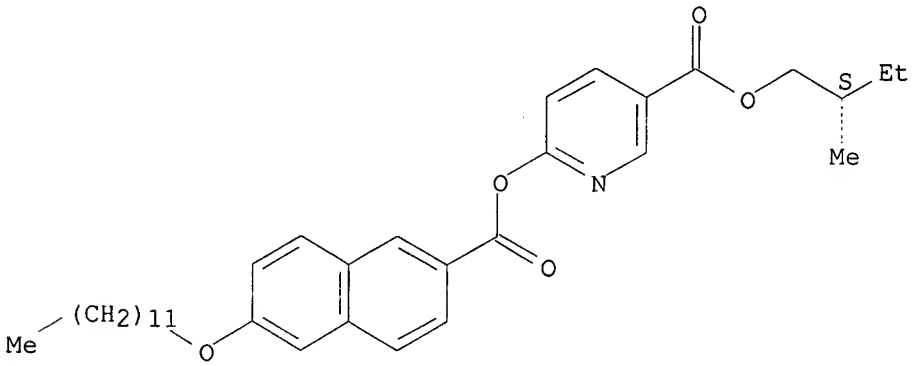
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 109:83961

L24 ANSWER 82 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 115849-99-5 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[[6-(dodecyloxy)-2-naphthalenyl]carbonyl]oxy]-, 2-methylbutyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H45 N O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

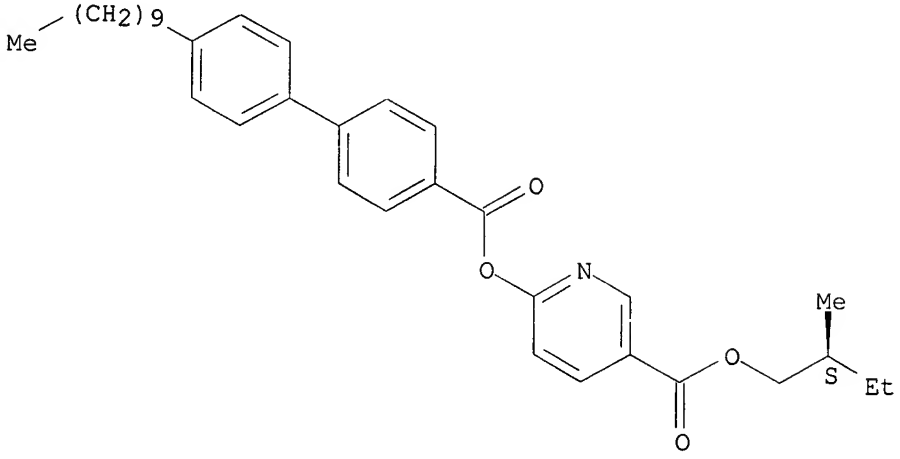
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 109:83961

L24 ANSWER 84 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 115167-29-8 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[(4'-decyl[1,1'-biphenyl]-4-yl)carbonyl]oxy]-

, 2-methylbutyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H43 N O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



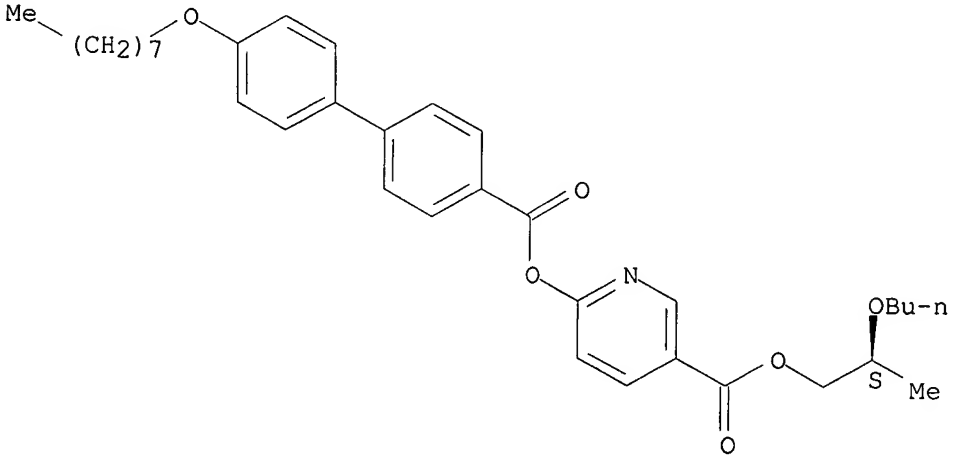
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 109:64523

L24 ANSWER 86 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 115154-89-7 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[[[4'-(octyloxy)[1,1'-biphenyl]-4-yl]carbonyl]oxy]-, 2-butoxypropyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H43 N O6
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



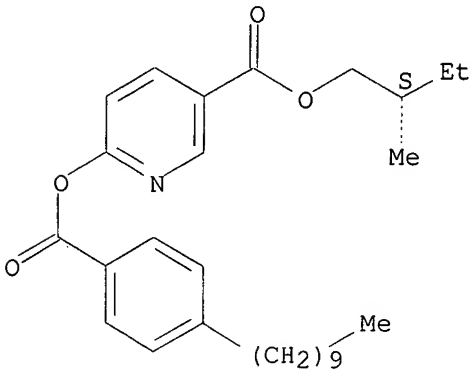
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 109:64523

L24 ANSWER 89 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 114211-34-6 REGISTRY
CN 3-Pyridinecarboxylic acid, 6-[(4-decylbenzoyl)oxy]-, 2-methylbutyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H39 N O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

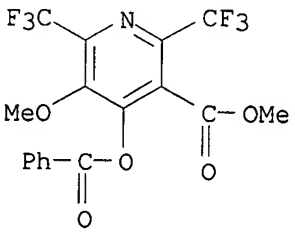


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 108:196344

L24 ANSWER 100 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 113438-49-6 REGISTRY
CN 3-Pyridinecarboxylic acid, 4-(benzoyloxy)-5-methoxy-2,6-bis(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H11 F6 N O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

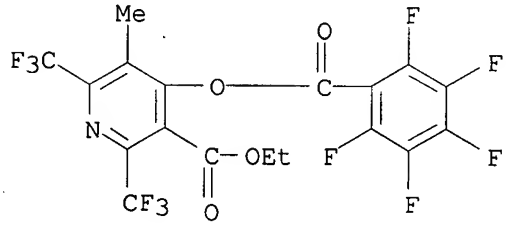


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 108:131596

L24 ANSWER 103 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 104250-32-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 5-methyl-4-[(pentafluorobenzoyl)oxy]-2,6-bis(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H8 F11 N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

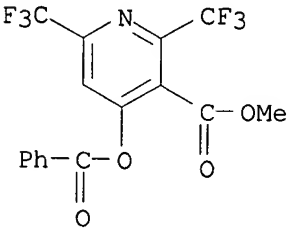


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 105:133760

L24 ANSWER 104 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 104232-76-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 4-(benzoyloxy)-2,6-bis(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H9 F6 N O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



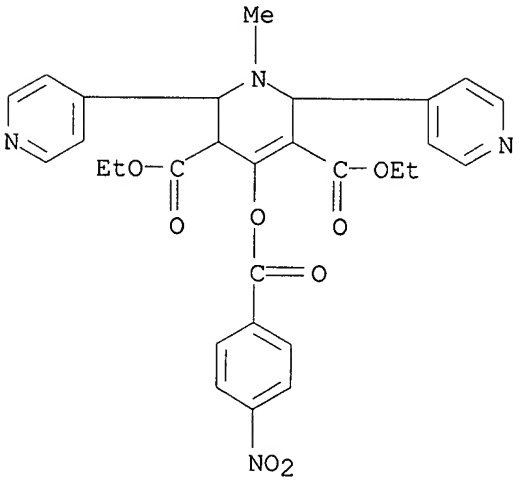
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 110:57519

REFERENCE 2: 105:133760

L24 ANSWER 119 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 103166-73-0 REGISTRY
CN 3,5-Pyridinedicarboxylic acid, 1,2,3,6-tetrahydro-4-hydroxy-1-methyl-2,6-di-4-pyridyl-, diethyl ester, p-nitrobenzoate (6CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H28 N4 O8
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)

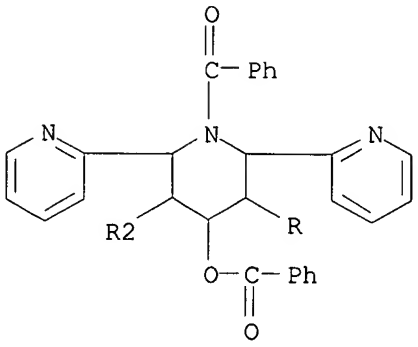


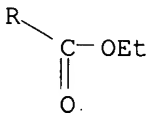
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

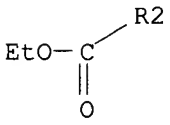
L24 ANSWER 121 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 96711-86-3 REGISTRY
CN 3,5-Piperidinedicarboxylic acid, 1-benzoyl-4-hydroxy-2,6-di-2-pyridyl-, diethyl ester, benzoate (7CI) (CA INDEX NAME)
FS 3D CONCORD
MF C35 H33 N3 O7
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)

PAGE 1-A





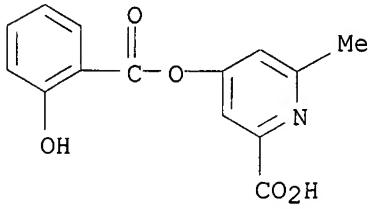
PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L24 ANSWER 122 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 84531-18-0 REGISTRY
CN 2-Pyridinecarboxylic acid, 4-[(2-hydroxybenzoyl)oxy]-6-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H11 N O5
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



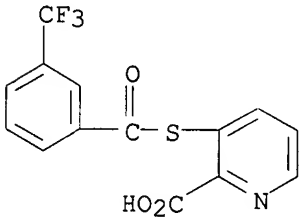
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 104:19474

REFERENCE 2: 98:71867

L24 ANSWER 123 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 62042-53-9 REGISTRY
CN 2-Pyridinecarboxylic acid, 3-[[3-(trifluoromethyl)benzoyl]thio]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H8 F3 N O3 S
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

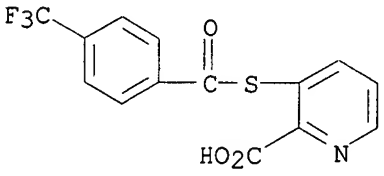


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 86:115097

L24 ANSWER 125 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 62013-60-9 REGISTRY
CN 2-Pyridinecarboxylic acid, 3-[[4-(trifluoromethyl)benzoyl]thio]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H8 F3 N O3 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



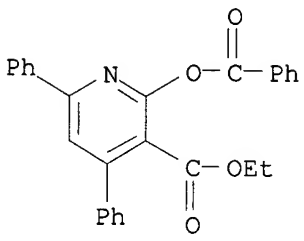
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 86:115097

L24 ANSWER 140 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 55249-86-0 REGISTRY
CN 3-Pyridinecarboxylic acid, 2-(benzoyloxy)-4,6-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H21 N O4

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

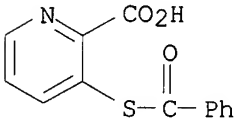


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 82:138825

L24 ANSWER 141 OF 141 REGISTRY COPYRIGHT 2003 ACS
RN 39760-18-4 REGISTRY
CN 2-Pyridinecarboxylic acid, 3-(benzoylthio)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Benzoylthiopicolinic acid
FS 3D CONCORD
MF C13 H9 N O3 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1962 TO DATE)
3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 86:115097

REFERENCE 2: 82:11036

REFERENCE 3: 78:16044

L72 ANSWER 18 OF 18 USPATFULL on STN

SUMM The invention relates to a gel formulation of tretinoin (all trans-**retinoic** acid, or vitamin A acid). More particularly, it relates to gel formulations of tretinoin which are effective when tretinoin is present in low concentrations. The product is particularly suitable for treating such dermatological disorders as acne vulgaris.

SUMM Notwithstanding these advantages, cream formulations containing tretinoin possess some undesirable attributes. One of these undesirable attributes is the difficulty in uniformly applying sufficient amounts of the active ingredient to the lesion of acne to be effective and at the same time avoid local excesses, surface spread or pooling into facial creases, the nasolabial folds and corners of the mouth where the cream may cause erythema, stinging and itching. Another undesirable attribute of cream formulations of tretinoin is their relative instability, often necessitating the use of **refrigeration** or antimicrobial preservatives to **prevent** microbiological contamination, as well as special additives to maintain physical **stability**.

SUMM In general, my invention comprises a gel formulation containing a therapeutically effective amount of tretinoin (all trans-vitamin A acid; **retinoic** acid); an organic solvent for the tretinoin selected from the group consisting of ethanol (absolute or 95% by volume ethyl alcohol), isopropanol, propylene glycol and combinations thereof; an antioxidant selected from the group consisting of butylated hydroxytoluene (BHT), butylated hydroxyanisole (BHA), ascorbic acid (Vitamin C), propyl gallate, and .alpha.-tocopherol (Vitamin E); and a gelling agent selected from the group consisting of (1) an acidic carboxy polymer, such as those available under the trade names Carbopol 934 and Carbopol 940, neutralized with an organic amine, (2) hydroxyethylcellulose and (3) hydroxypropyl cellulose. Other conventionally used ingredients may be added, if desired, such as dyes, perfumes, sunscreens, antimicrobials and topical corticosteroids.

SUMM A tretinoin gel formulation of the present invention, in general, comprises from about 0.001 weight % to about 0.500 weight % of tretinoin; from about 0.01 weight % to about 0.10 weight % of an antioxidant selected from the group consisting of butylated hydroxytoluene (BHT), butylated hydroxyanisole (BHA), ascorbic acid (Vitamin C), propyl gallate and .alpha.-tocopherol (Vitamin E); from about 0.5 to about 5.0 weight % of a gelling agent selected from the group consisting of hydroxyethylcellulose, hydroxypropyl cellulose, and an acidic carboxy polymer such as the ones available under the trade name Carbopol 934 and Carbopol 940, which is neutralized with an organic amine, such as, .beta.-alanine or diisopropanol amine; and from about 84 to 99 weight % of a solvent selected from the group consisting of ethanol, isopropanol, propylene glycol and combinations thereof. Optionally, minor amounts of such agents as dyes, perfumes, and sunscreens which are commonly used in topical **pharmaceutical** compositions may be added. Furthermore, such topically active medicaments as the anti-inflammatory corticosteroids and antimicrobials may also be incorporated.

SUMM The gelling agents employed in the compositions of the present invention are those capable of being solvated or those which can be modified to be capable of being solvated in the solvents utilized in these compositions and which are commonly used in **pharmaceutical** preparations for topical applications. While there are numerous **pharmaceutically** acceptable gelling agents for topical use, they are either only marginally acceptable such as, for example, ethyl cellulose or they are not suitable for the purposes of the present invention such as, for example, methylcellulose and the salts and derivatives of alginic acid because they do not form a satisfactory gel. I prefer to use amounts of

from about 0.5 to about 3.0 weight % of a gelling agent selected from the group consisting of hydroxyethylcellulose, having a viscosity of from about 3,500 to about 50,000 cps. when a 2 percent aqueous solution is measured at 20.degree. C. using Brookfield Viscometer, Model LVF, with Spindle #30 at 30 RPM., available under the trade name Natrosol from Hercules Powder Co., Inc., Wilmington, Delaware; hydroxypropyl cellulose having a molecular weight from about 100,000 to about 1,000,000, available under the trade name Klucel from Hercules Powder Co. Inc.; an acidic carboxy polymer, such as those available under the trade names Carbopol 934 and Carbopol 940 from B. F. Goodrich Chemical Co., Cleveland, Ohio, neutralized with an organic amine, such as .beta.-alanine or diisopropanol amine. The neutralization of the acidic carboxy polymer with an organic amine enables the acidic carboxy polymer to be solvated by the organic solvent utilized in practicing the invention. While partial neutralization is sufficient to effect solvation, preferably the amount of organic amine used to neutralize the acidic carboxy polymer will generally be approximately equivalent by moles to the acidic carboxy polymer present in the formulation, and may even be in excess of the molar equivalent amount.

CLM What is claimed is:
1. A gel formulation for topical application comprising from about 0.01% to about 0.025% by weight of said formulation of tretinoin; and a vehicle system consisting essentially of (a) from about 84 to about 99% by weight of said formulation of an organic solvent selected from the group consisting of ethanol, isopropanol, and propylene glycol; (b) an effective amount to inhibit oxidation of said tretinoin of a **pharmaceutically** acceptable antioxidant soluble in said organic solvent; and (c) an effective amount to cause gelling of hydroxypropyl cellulose.

ACCESSION NUMBER:	81:5186 USPATFULL		
TITLE:	Tretinoin in a gel vehicle for acne treatment		
INVENTOR(S):	Marks, Alan M., East Brunswick, NJ, United States		
PATENT ASSIGNEE(S):	Johnson & Johnson, New Brunswick, NJ, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4247547		19810127
APPLICATION INFO.:	US 1979-22022		19790319 (6)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1975-541906, filed on 17 Jan 1975, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Schenkman, Leonard		
LEGAL REPRESENTATIVE:	Newman, Irving		
NUMBER OF CLAIMS:	10		
EXEMPLARY CLAIM:	1		
LINE COUNT:	511		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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urprising, in formulating products containing such **retinoids**, the art is led to the experience gained in the already existing formulas containing **retinoic** acid. Typically, such formulas comprise oil-in-water emulsions wherein the **retinoic** acid is carried within the oil phase and is protected from oxidation by employing an oil-soluble antioxidant. With respect to. . . skin and are regarded as more aesthetically pleasing as well as being more economical to manufacture. With respect to chemical **stability** of the active ingredient, it has been experienced that the **retinoic** acid in the oil phase is, in the main, well protected by including in such oil phase an oil soluble. .

SUMM . . . care products called Bioadvance and Bioadvance 2000. Each of these products is supplied in two bottles, portions of which are mixed together just **prior** to use. U.S. Pat. No. 4,720,353 (Bell) describes water-in-oil emulsion carriers for various medicaments and drugs intended for topical **application** to the skin. Other water-in-oil type emulsions have been described in EP 0 343 444 A2 (Siemer et al.) and. . .

SUMM Clum et al., in U.S. patent application Ser. No. 07/719,264, now abandoned, describe stable water-in-oil compositions containing a **retinoid** and a **stabilizing** system selected from the group consisting of: (a) a chelating agent and at least one oil-soluble antioxidant; (b) a chelating. . . in each of the oil and water phases of the emulsion. This composition retains at least about 60% of the **retinoids** after 13 weeks of storage at 40.degree. C. Although this system is quite stable and useful in **retinoid**-containing products, it is nevertheless a water-in-oil emulsion and retains all the attributes, advantages and disadvantages of such a formulation. It. .

SUMM In accordance with the present invention, it has now been unexpectedly found that certain **retinoids** may be successfully **stabilized** against chemical degradation by incorporating them into oil-in-water emulsions comprising a specifically defined **stabilizing** system. In addition, this invention relates to oil-in-water emulsion compositions which are cosmetically elegant.

SUMM The **retinoids** which can be **stabilized** against chemical degradation in accordance with the principles of the present invention are retinol (Vitamin A alcohol), retinal (Vitamin A aldehyde), retinyl acetate, retinyl palmitate and mixtures thereof. It is also theorized that other **retinoids**, including synthetic **retinoids** and **retinoid**-like chemicals may benefit from inclusion in the formulations of this invention.

SUMM As used herein, the "chemical **stability**" or "**stability** " of a **retinoid** is defined in terms of the percentage of the specified **retinoid** which is retained in its original chemical form after the composition has been stored for a specified period of time. . . the concentration of all-trans retinol were 0.18% by weight, then the original solution would be characterized as having a chemical **stability** of 90% after two weeks' storage at room temperature. In the same fashion, if an emulsion comprising all-trans retinol had. . .

SUMM Specifically, a commercially usable composition should exhibit a **stability** of at least about 60% of the active **retinoid** (s) after 13 weeks storage at 40.degree. C. Preferably, the compositions of this invention exhibit a **stability** of at least about 70% after 13 weeks' storage at 40.degree. C.

SUMM . . . is provided, in accordance with the teachings of this invention, a skin care composition comprising an oil-in-water emulsion and a **retinoid** selected from the group consisting of retinol, retinal, retinyl acetate, retinyl palmitate and mixtures thereof, said composition having a pH. . . further comprising an oil phase, said oil phase having a relatively low level of unsaturation; said composition further comprising a **stabilizing** system selected

from the group consisting of:

SUMM . . . utilized. These co-emulsifiers prevent the oil phase from coalescing or creaming and keep the phases physically stable as an emulsion **prior** to **application** to the skin. They lend "body" to the emulsion and give the formulation its character as a lotion or a cream by imparting viscosity to the composition. It has been found that particularly **useful** co-emulsifiers are fatty alcohols such as cetyl and stearyl alcohols and the like. Preferably, a **mixture** of cetyl and stearyl alcohols should be **used** as the co-emulsifier in most cases. Preferably, the ratio of cetyl alcohol to stearyl alcohol should be from about 2:1. . .

SUMM The present invention also provides oil-in-water emulsion compositions containing at least one **retinoid** compound wherein the physical **stability** of the emulsion and the chemical **stability** of the active ingredients are excellent. The present invention also provides a method for making such emulsion compositions and a method and apparatus for storing such emulsion compositions in order to maintain their **stability** during storage and **prior** to **use** by the consumer. It should be noted, however, that the base emulsion, including the emulsifiers, co-emulsifiers and oil phase, of this invention may be **used** not only in **combination** with **retinoids**, but with a variety of active topical ingredients with or without the inclusion of **retinoid** materials.

SUMM . . . 6 to about 8. It has been found that, in compositions having a pH of about 6 or more, the **retinoid** is more stable than at pH of less than 6. Furthermore, the **stability** of the retinol is less dependent upon the actual materials used in the formulation at pH of 6 or more.

SUMM The antioxidants should be utilized in a **stabilizing** effective amount and may range in total from about 0.001 to 5% based on the weight of the total composition,. . . the compositions of the present invention is dependent in part on the specific antioxidants selected, the amount of and specific **retinoid** being protected and the processing conditions.

SUMM In certain aspects of this invention, the compositions should include a chelating agent. The **retinoid** compounds of this invention are sensitive to metal ions and in particular to bi- and tri-valent cations and in certain. . . presence. The chelating agent forms a complex with the metal ions thereby inactivating them and preventing them from affecting the **retinoid** compounds. Chelating agents which are useful in the compositions of the present invention include ethylenediamine tetra acetic acid (EDTA) and. . . and salts thereof, dihydroxyethyl glycine, citric acid, tartaric acid, and mixtures thereof. The chelating agents should be utilized in a **stabilizing** effective amount and may range from about 0.01 to about 2% based on the weight of the total composition, preferably. . .

SUMM The compositions of the present invention can be prepared by well-known **mixing** or blending procedures. Each phase of the emulsion is preferably separately prepared with all of the components contained in the appropriate phase, except that it is usually preferred to omit the **retinoid** compound initially. The emulsion is then formed normally by adding the oil phase to the water phase with agitation. Preferably, the water phase should be added into the oil phase, as it results in increased **stability**. It is preferred that the portions be prepared under oxygen-depleted atmosphere such as a nitrogen or argon gas blanket. Most preferably, argon or nitrogen gas is bubbled through the water phase **prior** to phasing in the oil phase. Commercially, it is envisioned that such oxygen depleted atmosphere may be obtained by operating under vacuum conditions and that the product be stored, **prior** to **use**, in blind-end containers, preferably aluminum tubes.

SUMM This invention relates not only to stable and esthetic retinoid-containing compositions **used** in skin care, and to

methods of making such compositions, it also relates to an apparatus and method of storing such compositions **prior** to **use**.

Previously, numerous products containing retinol or its esters or aldehyde have been marketed in packages which follow the convention for. . . found that these package materials are not satisfactory for retinoid materials, particularly retinol and retinal, as they transmit sufficient light **combined** with sufficient oxygen to lead to degradation of the vitamin substance into foreign materials not ordinarily found in mammalian metabolism,. . . of the retinoid side chain, as well as oxidative degradation products and hydrolysis products. It has been found that a **combination** of proper manufacturing procedures as described can provide the fresh product in suitable form to the consumer, but over time,. . .

SUMM . . . is directly contacted with the propellant within the pouch by being partially mixed therewith. Taking into account the problem of **stability** of the **retinoid** compound, the container of the ordinary aerosol-system in which the content is mixed with the propellant cannot be used in. . .

PI US 6193956 B1 20010227

L15 ANSWER 69 OF 174 USPATFULL

DETD . . . which increases the bloodstream and endogenous PG level Examples of such absorption enhancer include limaprost alfadex, beraprost sodium, kallikrein, isositol **hexanicotinate**, isosltol **hexanicotinate**/pyrldoxal calcium phosphate, tocopherol **nicotinate**, nicomol, niceritrol, hepronicate, cyclandelate, cinnarizine, and so on. The composition of the present invention may also contain the other conventional excipients such as fillers, **stabilizers**, binders, lubricants and the like those used in this technical fields.

DETD In order to prevent the activity loss of the physiologically active compound **prior** to **administration**, it may be filled in low-grease type capsules and packaged in an appropriate form, preferably in a closed form, such as **combined** blister and aluminum packaging.

PI US 6197328 B1 20010306

L15 ANSWER 68 OF 174 USPATFULL

DRWD FIG. 1 shows a time profile of liposomal **retinoic** acid (L-RA) **stability** in the presence (.circle-solid.) and absence (O) of serum.

DETD **Stability** of Liposomal **Retinoic** Acid

DETD . . . ethanol) in lipid-containing organic solvents before vacuum drying. The dried lipid-drug film was dispersed by agitation in sterile saline solution. **Retinoids** up to a 1:10 drug:lipid ratio could be completely encapsulated within the liposomes and were highly stable. The **stability** and encapsulation efficiency of the liposome preparations were studied by using radiolabeled retinol and showed that only 5%.+-.2% of the. . .

DETD . . . acid was prepared from lyophilized powder in bottles containing 3 mg of all-trans retinoic acid and 45 mg of a **mixture** of two phospholipids, dimyristoyl lecithin and dimyristoyl phosphatidylglycerol in a 3:7 ratio (Avanti Polar Lipids, Birmingham, Ala.). Immediately **before use**, liposomal all-trans retinoic acid was reconstituted by adding 3 ml of normal saline to each bottle and agitating the suspension on a vortex **mixer** for 2-3 min. The reconstituted preparation consisted of multilamellar liposomes (average size, 3.1 .mu.m).

PI US 6200597 B1 20010313

Microcrystalline cellulose is commercially available and can be processed. . . . outside of the microbeads which is then allowed to dry. The desired components (e.g. chromic tripicolinate and ibuprofen) may be **combined** into the same solution or applied using separate solutions. Optionally, the coated microbeads can be further coated with a substance. . . . to protect the active ingredients coated onto the beads, such as latex. The microbeads may be placed in a capsule **prior** to **administration**. In another preferred embodiment, the capsule or the microbeads are coated with an enteric coating to delay dissolution until reaching. . . .

CLM What is claimed is:

17. A method for reducing hyperglycemia and **stabilizing** serum glucose levels in an individual in need thereof, comprising orally administering to said individual a composition comprising chromium **polynicotinate** in combination with a cyclooxygenase inhibitor other than acetylsalicylic acid, wherein said composition is not enteric coated.

32. A method for reducing hyperglycemia and **stabilizing** serum glucose levels in an individual in need thereof, comprising orally administering to said individual a composition comprising chromium **polynicotinate** in combination with an acid other than acetylsalicylic acid, wherein said composition is not enteric coated.

34. A method for reducing hyperglycemia and **stabilizing** serum glucose levels in an individual in need thereof, comprising orally administering to said individual a composition comprising chromium **polynicotinate** in combination with a mucolytic, wherein said composition is not enteric coated.

36. A method for reducing hyperglycemia and **stabilizing** serum glucose levels in an individual in need thereof, comprising orally administering to said individual a composition comprising chromium **polynicotinate** in combination with a salicin-containing herb, wherein said composition is not enteric coated.

PI US 6251888 B1 20010626

L15 ANSWER 62 OF 174 USPATFULL

DETD Preferably, the composition is prepared by forming two separate pre-**mixtures** of specific ingredients and then **combining** the two pre-**mixtures**. For instance, the composition may be prepared by a method that involves (i) forming a first pre-**mixture** of the ascorbic acid, ribose compound, water, and sodium chloride; (ii) forming a second pre-**mixture** of alpha-alanine, adenosine compound, **nicotinic** acid, water, and sodium chloride; and (iii) **combining** the two pre-**mixtures** **prior** to **use**. The blended composition has been found to have a storage **stability** of up to about 6 months. Therefore, it is preferable that the two pre-**mixtures** be kept separate until shortly **prior** to **administration**, i.e. within a few months. Although not currently recommended, it may be possible to **administer** the two pre-**mixtures** sequentially. When a glucan is present, a soluble form must be **used** in order to prepare a solution. If glucan is **used** , it is preferably added to the second pre-**mixture**.

DETD Thereafter, about 0.05 ml of the treatment composition prepared as in Example 1 and **administered** twice (once intravenously in the morning and once intraperitonially in the afternoon). The first and the second pre-**mixtures** were **mixed** together to form the treatment composition from about 1 to 6 hours **prior** to actual **use**. In subgroup A, the treatment composition was **administered** 3 days after tumor inducement. In subgroup B, the treatment composition was **administered** 5 days after tumor inducement. In subgroup C, the treatment composition was **administered** 7 days after tumor inducement. In subgroup D, the treatment composition was **administered** 10 days after tumor inducement. In all subgroups, the primary melanoma tumor was surgically removed 10 days after tumor inducement (only metatastic tumors were left in). In subgroup D, the primary melanoma tumor was surgically removed **prior** to **administration** of the treatment composition.

PI US 6255291 B1 20010703

L15 ANSWER 60 OF 174 USPATFULL

DETD . . . part by weight of sodium ascorbate, 0.6 part by weight of vitamin E acetate, and 0.04 part by weight of **nicotinic** acid amide were **mixed** to obtain a composition. Twenty-five grams aliquots of the composition were injected into small laminated aluminum bags which were then heat sealed to obtain a nutrition that is **used** by dissolving in a solvent **before use**.

Since the formation of volatile aldehydes and/or the decomposition of fatty acids in the product are well inhibited, and the product has a satisfactory **stability** at ambient temperature, it needs no storage under cooling conditions. The product has a satisfactory solubility and dispersibility. With these features, the product can be arbitrarily **used** to easily supplement calories and nutritions to the living bodies by dissolving one bag in about 150 to about 300 ml hot water and **administering** the solution to subjects, and **used** to maintain the health, promote the growth, promote the prevention and treatment of diseases, and recover the health conditions from fatigues after physical activities, and promote the health. Also the product can be **used** for not only humans but domestic animals as an orally and/or intubationally **administrable** composition.

PI US 6268353 B1 20010731

cid-addition salt form, as the active ingredient is combined in intimate **admixture** with a pharmaceutically acceptable carrier, which carrier may take a wide variety of forms depending on the form of preparation desired for **administration**. These pharmaceutical compositions are desirable in unitary dosage form suitable, particularly, for **administration** orally, rectally, percutaneously, or by parenteral injection. For example, in preparing the compositions in oral dosage form, any of the. . . binders, disintegrating agents and the like in the case of powders, pills, capsules, and tablets. Because of their ease in **administration**, tablets and capsules represents the most advantageous oral dosage unit form, in which case solid pharmaceutical carriers are obviously employed.. . . agents and the like may be employed. Also included are solid form preparations which are intended to be converted, shortly **before use**, to liquid form preparations. In the compositions suitable for percutaneous **administration**, the carrier optionally comprises a penetration enhancing agent and/or a suitable wetting agent, optionally combined with suitable additives of any. . . nature in minor proportions, which additives do not introduce a significant deleterious effect on the skin. As appropriate compositions for **topical application** there may be cited all compositions usually employed for topically **administering** drugs, e.g., creams, gellies, dressings, shampoos, tinctures, pastes, ointments, salves, powders and the like. **Application** of said compositions may be by aerosol e.g. with a propellent such as nitrogen carbon dioxide, a freon, or without. . . by a swab. In particular compositions, semisolid compositions such as salves, creams, gellies, ointments and the like will conveniently be **used**.

SUMM . . . compound of formula (I) an acid addition salt or a stereochemically isomeric form thereof and an effective amount of a **retinoic** acid, a derivative thereof or a stereochemically isomeric form thereof. Said **retinoic** acid containing . compositions are particularly useful for treating acne or for retarding the effects of aging of the skin and generally improve the quality of the skin, particularly human facial skin. A pharmaceutical or cosmetical composition containing **retinoic** acid or a derivative thereof as the active ingredient in intimate admixture with a dermatologically acceptable carrier can be prepared according to conventional compounding techniques, such as those known for **topical** application of **retinoic** acid and its derivatives. Conventional pharmaceutical compounding techniques for **topical** application of **retinoic** acid are described for example in, U.S. Pat. Nos. 3,906,108 and 4,247,547, which are incorporated herein by reference. Preferred composition for **topical** application are in form of a cream, ointment or lotion comprising from 0.005 to 0.5% (particularly from 0.01 to 0.1%) alltrans-**retinoic** acid, 13-cis-**retinoic** acid or a derivative thereof and from 0.1 to 5% of a compound of formula (I) and, a dermatologically acceptable. . .

DETD Metabolism of exogenously administered all-trans-**retinoic** acid

DETD . . . hour later, the animals were anesthetized with ether and injected intrajugularly with 0.50 ml saline solution containing 20 .mu.g of all-trans-**retinoic** acid. Two hours after this injection, rats were killed by decapitation and blood was collected on heparin. Blood samples were centrifuged (1000 g, 15 min) and plasma was recovered to determine the quantity of plasmatic all-trans-**retinoic** acid. The samples were analyzed by means of HPLC with UV-detection at 350 nm. Quantification was achieved by peak area integration and external standardization. Under the conditions used, plasma concentrations of the **retinoic** acid in vehicle-pretreated animals were not detectable (<0.5 ng/ml), whereas compound nos. 5, 9, 11, 12, 13, 15, 16, 18,. . . 149, 151, 157, 161, 181, 183, 187, 198, 201, 210, 262, 263, 264, 295 and 299 enhanced the recovery of all-trans-**retinoic** acid from the plasma to at least 10 ng/ml after dosing

with 40 mg/kg. The following compounds even enhanced the recovery of all trans-**retinoic** acid from the plasma to at least 20 ng/ml after dosing with 40 mg/kg: compound nos. 12, 70, 77, 86, . . .

DETD Metabolism of endogenously administered all-trans-**retinoic** acid

DETD . . . on heparin. Blood samples were centrifuged (1000 g, 15 min) and plasma was recovered to determine the quantity of plasmatic all-trans-**retinoic** acid. The samples were analyzed by means of HPLC with UV-detection at 350 nm. Quantification was achieved by peak area integration and external standardization. Under the conditions used, plasma concentrations of the **retinoic** acid in vehicle-pretreated animals were not detectable (<0.5 ng/ml), whereas compound nos. 5, 77, 94, 127, 151, 170, 183, 187, . . . 273, 275, 277, 279, 280, 285, 287, 289, 291, 293, 295, 299, 301, 307 and 309 enhanced the recovery of all-trans-**retinoic** acid from the plasma to at least 1 ng/ml.

CLM What is claimed is:

10. A **retinoid** metabolism inhibiting composition comprising an inert carrier and as active ingredient an effective amount of a compound as defined in. . .

PI US 5028606 19910702

L30 ANSWER 73 OF 81 USPATFULL

SUMM . . . benzimidazole moiety and by their favourable pharmaceutical properties. In particular the compounds of the invention suppress the plasma elimination of **retinoic** acids. Further it was shown that some compounds inhibit the action of the enzyme complex a romatase which catalyses the. . .

SUMM . . . addition salts and their possible stereochemically isomeric forms have useful pharmacological properties. For example, they suppress the plasma elimination of **retinoids**, such as, all-trans-**retinoic** acid, 13-cis **retinoic** acid and their derivatives. The latter results in more sustained/higher tissue concentrations of **retinoic** acid and improved control of the differentiation and growth of various cell types. In addition some compounds inhibit the formation. . .

SUMM Said property of the compounds of the invention to delay the metabolism of **retinoic** acid can easily be evidenced in various in vivo experiments. A particular test procedure is described hereinafter as the "Metabolism of endogenous or exogenously administered all-trans-**retinoic** acid" test and demonstrates the suppression of the plasma elimination of endogenous or exogenously administered all-trans-**retinoic** acid. As such, the compounds of formula (I) can be used to control the rate of growth and differentiation of various cell types which effects are known to be affected by **retinoids**. The ability of **retinoids**, such as, 13-cis-**retinoic** acid, all-trans-**retinoic** acid and their derivatives to modulate differentiation and proliferation in several cell types whether they are of epithelial or mesenchymal. . .

SUMM In view of their capability to delay the metabolism of **retinoic** acid the compounds can thus be used in the treatment of disorders which are characterized by an increased proliferation and/or. . .

SUMM The anti-tumor activity may be demonstrated in several **retinoic** acid-sensitive and insensitive cell lines and solid tumors such as, for example, in Ta3-Ha induced mamma tumors in female mice.

SUMM . . . origin; or whether they are estrogen dependent, androgen dependent or nonestrogen and nonandrogen dependent. Said method comprises the systemic or **topical** administration to the latter of an amount, effective to treat said disorders, of a compound of formula (I), a pharmaceutically. . . method in which the growth and differentiation in said normal, preneoplastic and neoplastic cells is sensitive to the actions of **retinoids**.

SUMM The subject compounds may be formulated into various pharmaceutical forms for **administration** purposes. As appropriate compositions there may be cited all compositions usually employed for systemically or topically **administering** drugs. To prepare the pharmaceutical compositions of this invention, an effective amount of the particular compound, optionally in acid-addition salt form, as the active ingredient is combined in intimate **admixture** with a pharmaceutically acceptable carrier, which carrier may take a wide variety of forms depending on the form of preparation desired for **administration**. These pharmaceutical compositions are desirable in unitary dosage form suitable, particularly, for **administration** orally, rectally, percutaneously, or by parenteral injection. For example, in preparing the compositions in oral dosage form, any of the. . . binders, disintegrating agents and the like in the case of powders, pills, capsules, and tablets. Because of their ease in **administration**, tablets and capsules represents the most advantageous oral dosage unit form, in which case solid pharmaceutical carriers are obviously employed.. . . agents and the like may be employed. Also included are solid form preparations which are intended to be converted, shortly **before use**, to liquid form preparations. In the compositions suitable for percutaneous **administration**, the carrier optionally comprises a penetration enhancing agent and/or a suitable wetting agent, optionally combined

with suitable additives of any. . .

SUMM As appropriate compositions for **topical** application there may be cited all compositions usually employed for topically administering drugs, e.g., creams, gellies, dressings, shampoos, tinctures, pastes,. . .

SUMM . . . compound of formula (I) an acid addition salt or a stereochemically isomeric form thereof and an effective amount of a **retinoic** acid, a derivative thereof or a stereochemically isomeric form thereof. Said **retinoic** acid containing compositions are particularly useful for treating acne or for retarding the effects of aging of the skin and generally improve the quality of the skin, particularly human facial skin. A pharmaceutical or cosmetical composition containing **retinoic** acid or a derivative thereof as the active ingredient in intimate admixture with a dermatologically acceptable carrier can be prepared according to conventional compounding techniques, such as those known for **topical** application of **retinoic** acid and its derivatives. Conventional pharmaceutical compounding techniques for **topical** application of **retinoic** acid are described for example in, U.S. Pat. Nos. 3,906,108 and 4,247,547, which are incorporated herein by reference. Preferred composition for **topical** application are in form of a cream, ointment or lotion comprising from 0.005 to 0.5% (particularly from 0.01 to 0.1%) all-trans-**retinoic** acid, 13-cis-**retinoic** acid or a derivative thereof and from 0.1 to 5% of a compound of formula (I) and, a dermatologically acceptable. . .

DETD Metabolism of Exogenously Administered All-Trans-**Retinoic** Acid

DETD . . . hour later, the animals were anesthetized with ether and injected intrajugularly with 0.50 ml saline solution containing 20 .mu.g of all-trans-**retinoic** acid. Two hours after this injection, rats were killed by decapitation and blood was collected on heparin. Blood samples were centrifuged (1000 g, 15 min) and plasma was recovered to determine the quantity of plasmatic all-trans-**retinoic** acid. The samples were analyzed by means of HPLC with UV-detection at 350 nm. Quantification was achieved by peak area integration and external standardization. Under the conditions used, plasma concentrations of the **retinoic** acid in vehicle-pretreated animals were not detectable (<0.5 ng/ml), whereas compound nos. 16, 18, 19, 22, 24, 42 and 46 enhanced the recovery of all-trans-**retinoic** acid from the plasma to at least 10 ng/ml after dosing with 40 mg/kg.

DETD Metabolism of Endogenously Administered All-Trans-**Retinoic** Acid

DETD . . . on heparin. Blood samples were centrifuged (1000 g, 15 min) and plasma was recovered to determine the quantity of plasmatic all-trans-**retinoic** acid. The samples were analyzed by means of HPLC with UV-detection at 350 nm. Quantification was achieved by peak area integration and external standardization. Under the conditions used, plasma concentrations of the **retinoic** acid in vehicle-pretreated animals were not detectable (<0.5 ng/ml), whereas compound nos. 18, 19, 20, 24, 38, 42, 43 and 46 enhanced the recovery of all-trans-**retinoic** acid from the plasma to at least 1 ng/ml.

CLM What is claimed is:

. . . mammals suffering from disorders which are characterized by an increased proliferation and/or abnormal differentiation of cells by the systemic or **topical** administration to said mammals of an effective amount of a chemical compound claimed in claim 1.

19. A method of delaying the metabolism of **retinoids** in mammals by the systemic or **topical** administration to said mammals of an amount of a chemical compound claimed in claim 1, effective to delay the degradation of **retinoids**.

20. A method of treating disorders of keratinization in mammals, said method comprising the **topical** or systemic administration to

said mammals of an amount of a chemical compound claimed in claim 1, effective to inhibit the degradation of **retinoids**.

PI US 5037829 19910806